

# PATENT COOPERATION TREATY

WO 00/78277  
PCT/EP00/05314

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PCT

## NOTICE INFORMING THE APPLICANT OF THE COMMUNICATION OF THE INTERNATIONAL APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

From the INTERNATIONAL BUREAU

To:

CIBA SPECIALTY CHEMICALS HOLDING  
INC.  
Patentabteilung Ressort P/TM/SI LE 5  
Klybeckstrasse 141  
CH-4057 Basel  
SUISSE

Ressort P/TM/SI		LE 5
4. Jan. 2001		
PATA	PATH	SES
HP	HP	HPF

22

Date of mailing (day/month/year) 28 December 2000 (28.12.00)		
Applicant's or agent's file reference HP/2-22037/A		
International application No. PCT/EP00/05314 ✓	International filing date (day/month/year) 08 June 2000 (08.06.00) ✓	Priority date (day/month/year) 18 June 1999 (18.06.99)
Applicant CIBA SPECIALTY CHEMICALS HOLDING INC. et al		

### IMPORTANT NOTICE

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:  
AG,AU,DZ,KP,KR,MZ,US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:  
AE,AL,AM,AP,AT,AZ,BA,BB,BG,BR,BY,CA,CH,CN,CR,CU,CZ,DE,DK,DM,EA,EE,EP,ES,FI,GB,GD,GE,GH,GM,HR,HU,ID,IL,IN,IS,JP,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MX,NO,NZ,OA,PL,PT,RO,RU,SD,SE,SG,SI,SK,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW  
The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).
3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on  
28 December 2000 (28.12.00) under No. WO 00/78277

### REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

### REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin de la Corniche 1211 Genève 20, Switzerland	Authorized officer J. Zahra
Facsimile No. (41-22) 740.14.35	Telephone No. (41-22) 329.83.38

# PCT

## REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

**PCT/EP 00 / 05314**

International Application No.

(08.06.2000) 08 JUNE 2000

International Filing Date

**EUROPEAN PATENT OFFICE  
PCT INTERNATIONAL APPLICATION**

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference  
(if desired) (12 characters maximum) **HP/2-22037/A**

**Box No. I TITLE OF INVENTION**

**Micropigment mixture**

**Box No. II APPLICANT**

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.).

**Ciba Specialty Chemicals Holding Inc.  
Klybeckstrasse 141  
4057 Basel  
CH**

☐ This person is also inventor

Telephone No. **+41 61 636 11 11**

Facsimile No. **+41 61 636 79 76**

Teleprinter No.

State (that is, country) of nationality: **CH**

State (that is, country) of residence: **CH**

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

**Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)**

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

**LUTHER, Helmut  
Tüllingerweg 3a  
79639 Grenzach-Wyhlen  
DE**

This person is:

☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality: **DE**

State (that is, country) of residence: **DE**

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

☐ Further applicants and/or (further) inventors are indicated on a continuation sheet.

**Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE**

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: ☐ agent ☒ common representative

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)

**Ciba Specialty Chemicals Holding Inc.  
Patent Department  
Klybeckstrasse 141  
4057 Basel  
CH**

Telephone No. **+41 61 636 11 11**

Facsimile No. **+41 61 636 79 76**

Teleprinter No.

☐ Address for correspondence: Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

## Box No.V DESIGNATION OF STATES

The following designations are hereby made under Rule 4.9(a) (mark the applicable check-boxes; at least one must be marked):

## Regional Patent

- ☒ AP ARIPO Patent: GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, SD Sudan, SL Sierra Leone, SZ Swaziland, TZ United Republic of Tanzania, UG Uganda, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT
- ☒ EA Eurasian Patent: AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakhstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT
- ☒ EP European Patent: AT Austria, BE Belgium, CH and LI Switzerland and Liechtenstein, CY Cyprus, DE Germany, DK Denmark, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT
- ☒ OA OAPI Patent: BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, GW Guinea-Bissau, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (if other kind of protection or treatment desired, specify on dotted line)

## National Patent (if other kind of protection or treatment desired, specify on dotted line):

- |   |   |
|---|---|
| <input checked="" type="checkbox"/> AE United Arab Emirates.....                  | <input checked="" type="checkbox"/> LR Liberia.....                                   |
| <input checked="" type="checkbox"/> AL Albania.....                               | <input checked="" type="checkbox"/> LS Lesotho.....                                   |
| <input checked="" type="checkbox"/> AM Armenia.....                               | <input checked="" type="checkbox"/> LT Lithuania.....                                 |
| <input checked="" type="checkbox"/> AT Austria.....                               | <input checked="" type="checkbox"/> LU Luxembourg.....                                |
| <input checked="" type="checkbox"/> AU Australia.....                             | <input checked="" type="checkbox"/> LV Latvia.....                                    |
| <input checked="" type="checkbox"/> AZ Azerbaijan.....                            | <input checked="" type="checkbox"/> MA Morocco.....                                   |
| <input checked="" type="checkbox"/> BA Bosnia and Herzegovina.....                | <input checked="" type="checkbox"/> MD Republic of Moldova.....                       |
| <input checked="" type="checkbox"/> BB Barbados.....                              | <input checked="" type="checkbox"/> MG Madagascar.....                                |
| <input checked="" type="checkbox"/> BG Bulgaria.....                              | <input checked="" type="checkbox"/> MK The former Yugoslav Republic of Macedonia..... |
| <input checked="" type="checkbox"/> BR Brazil.....                                |   |
| <input checked="" type="checkbox"/> BY Belarus.....                               | <input checked="" type="checkbox"/> MN Mongolia.....                                  |
| <input checked="" type="checkbox"/> CA Canada.....                                | <input checked="" type="checkbox"/> MW Malawi.....                                    |
| <input checked="" type="checkbox"/> CH and LI Switzerland and Liechtenstein.....  | <input checked="" type="checkbox"/> MX Mexico.....                                    |
| <input checked="" type="checkbox"/> CN China.....                                 | <input checked="" type="checkbox"/> NO Norway.....                                    |
| <input checked="" type="checkbox"/> CR Costa Rica.....                            | <input checked="" type="checkbox"/> NZ New Zealand.....                               |
| <input checked="" type="checkbox"/> CU Cuba.....                                  | <input checked="" type="checkbox"/> PL Poland.....                                    |
| <input checked="" type="checkbox"/> CZ Czech Republic.....                        | <input checked="" type="checkbox"/> PT Portugal.....                                  |
| <input checked="" type="checkbox"/> DE Germany.....                               | <input checked="" type="checkbox"/> RO Romania.....                                   |
| <input checked="" type="checkbox"/> DK Denmark.....                               | <input checked="" type="checkbox"/> RU Russian Federation.....                        |
| <input checked="" type="checkbox"/> DM Dominica.....                              | <input checked="" type="checkbox"/> SD Sudan.....                                     |
| <input checked="" type="checkbox"/> EE Estonia.....                               | <input checked="" type="checkbox"/> SE Sweden.....                                    |
| <input checked="" type="checkbox"/> ES Spain.....                                 | <input checked="" type="checkbox"/> SG Singapore.....                                 |
| <input checked="" type="checkbox"/> FI Finland.....                               | <input checked="" type="checkbox"/> SI Slovenia.....                                  |
| <input checked="" type="checkbox"/> GB United Kingdom.....                        | <input checked="" type="checkbox"/> SK Slovakia.....                                  |
| <input checked="" type="checkbox"/> GD Grenada.....                               | <input checked="" type="checkbox"/> SL Sierra Leone.....                              |
| <input checked="" type="checkbox"/> GE Georgia.....                               | <input checked="" type="checkbox"/> TJ Tajikistan.....                                |
| <input checked="" type="checkbox"/> GH Ghana.....                                 | <input checked="" type="checkbox"/> TM Turkmenistan.....                              |
| <input checked="" type="checkbox"/> GM Gambia.....                                | <input checked="" type="checkbox"/> TR Turkey.....                                    |
| <input checked="" type="checkbox"/> HR Croatia.....                               | <input checked="" type="checkbox"/> TT Trinidad and Tobago.....                       |
| <input checked="" type="checkbox"/> HU Hungary.....                               | <input checked="" type="checkbox"/> TZ United Republic of Tanzania.....               |
| <input checked="" type="checkbox"/> ID Indonesia.....                             | <input checked="" type="checkbox"/> UA Ukraine.....                                   |
| <input checked="" type="checkbox"/> IL Israel.....                                | <input checked="" type="checkbox"/> UG Uganda.....                                    |
| <input checked="" type="checkbox"/> IN India.....                                 | <input checked="" type="checkbox"/> US United States of America.....                  |
| <input checked="" type="checkbox"/> IS Iceland.....                               |   |
| <input checked="" type="checkbox"/> JP Japan.....                                 | <input checked="" type="checkbox"/> UZ Uzbekistan.....                                |
| <input checked="" type="checkbox"/> KE Kenya.....                                 | <input checked="" type="checkbox"/> VN Viet Nam.....                                  |
| <input checked="" type="checkbox"/> KG Kyrgyzstan.....                            | <input checked="" type="checkbox"/> YU Yugoslavia.....                                |
| <input checked="" type="checkbox"/> KP Democratic People's Republic of Korea..... | <input checked="" type="checkbox"/> ZA South Africa.....                              |
|   | <input checked="" type="checkbox"/> ZW Zimbabwe.....                                  |
| <input checked="" type="checkbox"/> KR Republic of Korea.....                     | Check-boxes reserved for designating States (for the purposes of a national           |
| <input checked="" type="checkbox"/> KZ Kazakhstan.....                            | patent) which have become party to the PCT after issuance of this sheet:              |
| <input checked="" type="checkbox"/> LC Saint Lucia.....                           | <input checked="" type="checkbox"/> DZ Algeria.....                                   |
| <input checked="" type="checkbox"/> LK Sri Lanka.....                             | <input checked="" type="checkbox"/> AG Antigua and Barbuda.....                       |
|   | <input checked="" type="checkbox"/> MZ Mozambique.....                                |

**Precautionary Designation Statement:** In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. (Confirmation of a designation consists of the filing of a notice specifying that designation and the payment of the designation and confirmation fees. Confirmation must reach the receiving Office within the 15-month time limit.)


<b>Box No. VI PRIORITY CLAIM</b>		<input type="checkbox"/> Further priority claims are indicated in the Supplemental Box		
Filing Date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country	regional application: * regional Office	international application: receiving Office
item (1) <b>18th June 1999 (18.06.99)</b>	<b>99810543.1</b>		<b>EP</b>	
item (2)				
item (3)				

☐ The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s): \_\_\_\_\_

\* Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii). See Supplemental Box.

<b>Box No. VII INTERNATIONAL SEARCHING AUTHORITY</b>			
<b>Choice of International Searching Authority (ISA)</b> (If two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):	<b>Request to use results of earlier search; reference to that search</b> (if an earlier search has been carried out by or requested from the International Searching Authority):		
<b>ISA/</b>	<b>Date (day/month/year)</b> <b>02/03/00</b>	<b>Number</b> <b>99 81 0543</b>	<b>Country (or regional Office)</b> <b>EP</b>

<b>Box No. VIII CHECK LIST; LANGUAGE OF FILING</b>	
This international application contains the following number of sheets: request : <b>4</b> description (excluding sequence listing part) : <b>49</b> claims : <b>11</b> abstract : <b>1</b> drawings : <b>-</b> sequence listing part of description : <b>-</b> <b>Total number of sheets : 65</b>	This international application is accompanied by the item(s) marked below: 1. <input checked="" type="checkbox"/> fee calculation sheet 2. <input checked="" type="checkbox"/> separate signed power of attorney 3. <input type="checkbox"/> copy of general power of attorney; reference number, if any: 4. <input type="checkbox"/> statement explaining lack of signature 5. <input checked="" type="checkbox"/> priority document(s) identified in Box No VI as item(s): <b>(1)</b> 6. <input type="checkbox"/> translation of international application into (language): 7. <input type="checkbox"/> separate indications concerning deposited microorganism or other biological material 8. <input type="checkbox"/> nucleotide and/or amino acid sequence listing in computer readable form 9. <input type="checkbox"/> other (specify):
<b>Figure of the drawings which should accompany the abstract:</b> <b>-</b>	<b>Language of filing of the international application:</b> <b>German</b>

<b>Box No. IX SIGNATURE OF APPLICANT OR AGENT</b>	
Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request)	
<b>07.06.2000</b>	<b>Ciba Specialty Chemicals Holding Inc.</b>  <b>Verena Spengler</b> <b>Patent Administrator</b>

For receiving Office use only		2. Drawings: <input type="checkbox"/> received  <input type="checkbox"/> not received:
1. Date of actual receipt of the purported international application:	(08.06.00) 08 JUNE 2000	
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:		
4. Date of timely receipt of the required corrections under PCT Article 11(2):		
5. International Searching Authority specified by the applicant: <b>ISA/</b>	6. <input type="checkbox"/> Transmittal of search copy delayed until search fee is paid	

Date of receipt of the record copy by the International Bureau:	For International Bureau use only
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# VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES PATENTWESENS

## PCT

### INTERNATIONALER VORLÄUFIGER PRÜFUNGSBERICHT

(Artikel 36 und Regel 70 PCT)

REC'D 16 JUL 2001

WIPO PCT

T 16



Aktenzeichen des Anmelders oder Anwalts HP/2-22037/PCT/A	<b>WEITERES VORGEHEN</b> siehe Mitteilung über die Übersendung des internationalen vorläufigen Prüfungsberichts (Formblatt PCT/IPEA/416)	
Internationales Aktenzeichen PCT/EP00/05314	Internationales Anmeldedatum (Tag/Monat/Jahr) 08/06/2000	Prioritätsdatum (Tag/Monat/Jahr) 18/06/1999
Internationale Patentklassifikation (IPK) oder nationale Klassifikation und IPK A61K7/42		
Anmelder CIBA SPECIALTY CHEMICALS HOLDING INC. et al.		

- Dieser internationale vorläufige Prüfungsbericht wurde von der mit der internationalen vorläufigen Prüfung beauftragten Behörde erstellt und wird dem Anmelder gemäß Artikel 36 übermittelt.
- Dieser BERICHT umfaßt insgesamt 5 Blätter einschließlich dieses Deckblatts.
  - ☐ Außerdem liegen dem Bericht ANLAGEN bei; dabei handelt es sich um Blätter mit Beschreibungen, Ansprüchen und/oder Zeichnungen, die geändert wurden und diesem Bericht zugrunde liegen, und/oder Blätter mit vor dieser Behörde vorgenommenen Berichtigungen (siehe Regel 70.16 und Abschnitt 607 der Verwaltungsrichtlinien zum PCT).

Diese Anlagen umfassen insgesamt Blätter.

3. Dieser Bericht enthält Angaben zu folgenden Punkten:

- I ☒ Grundlage des Berichts
- II ☐ Priorität
- III ☐ Keine Erstellung eines Gutachtens über Neuheit, erfinderische Tätigkeit und gewerbliche Anwendbarkeit
- IV ☐ Mangelnde Einheitlichkeit der Erfindung
- V ☒ Begründete Feststellung nach Artikel 35(2) hinsichtlich der Neuheit, der erfinderischen Tätigkeit und der gewerblichen Anwendbarkeit; Unterlagen und Erklärungen zur Stützung dieser Feststellung
- VI ☐ Bestimmte angeführte Unterlagen
- VII ☐ Bestimmte Mängel der internationalen Anmeldung
- VIII ☐ Bestimmte Bemerkungen zur internationalen Anmeldung

Datum der Einreichung des Antrags  23/11/2000	Datum der Fertigstellung dieses Berichts  12.07.2001
Name und Postanschrift der mit der internationalen vorläufigen Prüfung beauftragten Behörde:   Europäisches Patentamt D-80298 München Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Bevollmächtigter Bediensteter  Ortega Plaza, M.D.  Tel. Nr. +49 89 2399 8284 

**I. Grundlag des Berichts**

1. Hinsichtlich der **Bestandteile** der internationalen Anmeldung (*Ersatzblätter, die dem Anmeldeamt auf eine Aufforderung nach Artikel 14 hin vorgelegt wurden, gelten im Rahmen dieses Berichts als "ursprünglich eingereicht" und sind ihm nicht beigefügt, weil sie keine Änderungen enthalten (Regeln 70.16 und 70.17)*):  
**Beschreibung, Seiten:**

1-49                      ursprüngliche Fassung

**Patentansprüche, Nr.:**

1-31                      ursprüngliche Fassung

2. Hinsichtlich der **Sprache**: Alle vorstehend genannten Bestandteile standen der Behörde in der Sprache, in der die internationale Anmeldung eingereicht worden ist, zur Verfügung oder wurden in dieser eingereicht, sofern unter diesem Punkt nichts anderes angegeben ist.

Die Bestandteile standen der Behörde in der Sprache: zur Verfügung bzw. wurden in dieser Sprache eingereicht; dabei handelt es sich um

- ☐ die Sprache der Übersetzung, die für die Zwecke der internationalen Recherche eingereicht worden ist (nach Regel 23.1(b)).
- ☐ die Veröffentlichungssprache der internationalen Anmeldung (nach Regel 48.3(b)).
- ☐ die Sprache der Übersetzung, die für die Zwecke der internationalen vorläufigen Prüfung eingereicht worden ist (nach Regel 55.2 und/oder 55.3).

3. Hinsichtlich der in der internationalen Anmeldung offenbarten **Nucleotid- und/oder Aminosäuresequenz** ist die internationale vorläufige Prüfung auf der Grundlage des Sequenzprotokolls durchgeführt worden, das:

- ☐ in der internationalen Anmeldung in schriftlicher Form enthalten ist.
- ☐ zusammen mit der internationalen Anmeldung in computerlesbarer Form eingereicht worden ist.
- ☐ bei der Behörde nachträglich in schriftlicher Form eingereicht worden ist.
- ☐ bei der Behörde nachträglich in computerlesbarer Form eingereicht worden ist.
- ☐ Die Erklärung, daß das nachträglich eingereichte schriftliche Sequenzprotokoll nicht über den Offenbarungsgehalt der internationalen Anmeldung im Anmeldezeitpunkt hinausgeht, wurde vorgelegt.
- ☐ Die Erklärung, daß die in computerlesbarer Form erfassten Informationen dem schriftlichen Sequenzprotokoll entsprechen, wurde vorgelegt.

4. Aufgrund der Änderungen sind folgende Unterlagen fortgefallen:

- ☐ Beschreibung,              Seiten:
- ☐ Ansprüche,                Nr.:
- ☐ Zeichnungen,              Blatt:

# INTERNATIONALER VORLÄUFIGER PRÜFUNGSBERICHT

Internationales Aktenzeichen PCT/EP00/05314

5. ☐ Dieser Bericht ist ohne Berücksichtigung (von einigen) der Änderungen erstellt worden, da diese aus den angegebenen Gründen nach Auffassung der Behörde über den Offenbarungsgehalt in der ursprünglich eingereichten Fassung hinausgehen (Regel 70.2(c)).

*(Auf Ersatzblätter, die solche Änderungen enthalten, ist unter Punkt 1 hinzuweisen; sie sind diesem Bericht beizufügen).*

6. Etwaige zusätzliche Bemerkungen:

## V. Begründete Feststellung nach Artikel 35(2) hinsichtlich der Neuheit, der erfinderischen Tätigkeit und der gewerblichen Anwendbarkeit; Unterlagen und Erklärungen zur Stützung dieser Feststellung

### 1. Feststellung

Neuheit (N)	Ja: Ansprüche	
	Nein: Ansprüche	1-31
Erfinderische Tätigkeit (ET)	Ja: Ansprüche	
	Nein: Ansprüche	1-31
Gewerbliche Anwendbarkeit (GA)	Ja: Ansprüche	1-31
	Nein: Ansprüche	

### 2. Unterlagen und Erklärungen siehe Beiblatt

**Zu Punkt V**

Begründete Feststellung nach Regel 66.2(a)(ii) hinsichtlich der Neuheit, der erfinderischen Tätigkeit und der gewerblichen Anwendbarkeit; Unterlagen und Erklärungen zur Stützung dieser Feststellung

1. Folgende Dokumenten werden für die Erstellung des vorliegenden vorläufigen Berichts in Betracht gezogen:

D1 = US-A-5445815

D2 = EP-A-0821939

D3 = WO-A-9700851 (in der Beschreibung erwähnt)

D4 = US-A-5518713 (in der Beschreibung erwähnt)

D5 = US-A-5338539 (in der Beschreibung erwähnt)

D6 = EP-A-0582189 (in der Beschreibung erwähnt)

D7 = EP-A-0818450 (in der Beschreibung erwähnt)

D8 = EP-A-0654469 (in der Beschreibung erwähnt)

D9 = US-A-5601811 (in der Beschreibung erwähnt)

D10 = Dr. U. Schöffling, Trier, Arzneiformenlehre, DAV, Stuttgart 1998

D11 = Pflegekosmetik, W. Raab, U. Kindl, Wissenschaftliche Verlagsgesellschaft mbH Stuttgart, 1999.

2. Anspruch 1 bezieht sich auf die **Verwendung von Mischungen aus mikronisierten organischen UV-Filtern zum Schützen** der menschlichen und tierischen Haut und Haare vor der schädigenden Einwirkung von UV-Strahlung.

Die Verwendung von organischen UV-Filtern zum Schützen der menschlichen Haut und Haare vor der schädlichen Einwirkung von UV-Strahlung ist alt bekannt (siehe u.a. D1-D9). Die Verwendung von Mischungen aus organischen UV-Filtern, damit man ein breiteres UV-Spektrum deckt (siehe u.a. D11) ist auch allkömmlich. Ferner bleibt im Anspruch 1 nicht definiert um welche "Mischungen" es sich handelt. Die Verwendung in Anspruch 1 der Ausdruck "mikronisierten" reicht nicht um eindeutig Neuheit gegenüber u.a. D1 herzustellen. "Mikronisierten" ist vaage und solange keine genaue Grösseangabe angegeben wird, wird als Synonim für "feinteilig" angesehen. Ferner, ist die Verwendung von feinteiligen und mikronisierten Produkten eine übliche Technologie in der Bereich von



Arzneiformlehre (siehe u.a. D10).

D1 beschreibt "Composite", erhältlich durch Zusammenschmelzen von mindestens zwei organischen UV-Filtern. Daher ist der Gegenstand von Anspruch 18 auch nicht neu.

Die in den Ansprüchen 29 und 31 beanspruchten kosmetischen oder pharmazeutischen Formulierungen umfassen alle im Stand der Technik beschriebenen Formulierungen, die organischen Filtern beinhalten (siehe D1-D9), da es aus dem Wortlaut dieser Ansprüche nicht eindeutig herausgeht, ob die UV-Filtern in mikronisierter Form vorliegen und um welche Mischungen tatsächlich es sich handelt (aus der gleichen oder unterschiedlichen Verbindungsklasse).

Die obige Analyse gilt sinngemäß für den Gegenstand allen anderen Ansprüche. Weitere Merkmale entsprechen allkömmlichen Merkmalen aus dem Gebiet von Zubereitungen mit UV-Filtern (siehe u.a. D11).

Daher ist es z.Z. nicht offensichtlich, worin eine Erfindung liegt für die vorliegende Anmeldung.

**Translation**

**PATENT COOPERATION TREATY**

**PCT**

**INTERNATIONAL PRELIMINARY EXAMINATION REPORT**

(PCT Article 36 and Rule 70)

9

Applicant's or agent's file reference HP/2-22037/PCT/A	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP00/05314	International filing date (day/month/year) 08 June 2000 (08.06.00)	Priority date (day/month/year) 18 June 1999 (18.06.99)
International Patent Classification (IPC) or national classification and IPC A61K 7/42		
Applicant CIBA SPECIALTY CHEMICALS HOLDING INC.		

<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>5</u> sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of _____ sheets.</p>
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p>

Date of submission of the demand 23 November 2000 (23.11.00)	Date of completion of this report 12 July 2001 (12.07.2001)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.



International application No.

PCT/EP00/05314

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

## I. Basis of the report

## 1. With regard to the elements of the international application:\*

☐ the international application as originally filed☒ the description:

pages \_\_\_\_\_ 1-49 \_\_\_\_\_, as originally filed

pages \_\_\_\_\_, filed with the demand

pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

☒ the claims:

pages \_\_\_\_\_ 1-31 \_\_\_\_\_, as originally filed

pages \_\_\_\_\_, as amended (together with any statement under Article 19

pages \_\_\_\_\_, filed with the demand

pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

☐ the drawings:

pages \_\_\_\_\_, as originally filed

pages \_\_\_\_\_, filed with the demand

pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

☐ the sequence listing part of the description:

pages \_\_\_\_\_, as originally filed

pages \_\_\_\_\_, filed with the demand

pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

## 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).☐ the language of publication of the international application (under Rule 48.3(b)).☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

## 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.☐ filed together with the international application in computer readable form.☐ furnished subsequently to this Authority in written form.☐ furnished subsequently to this Authority in computer readable form.☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.4. ☐ The amendments have resulted in the cancellation of:☐ the description, pages \_\_\_\_\_☐ the claims, Nos. \_\_\_\_\_☐ the drawings, sheets/fig \_\_\_\_\_5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.  
PCT/EP 00/05314

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Claims		YES
	Claims	1-31	NO
Inventive step (IS)	Claims		YES
	Claims	1-31	NO
Industrial applicability (IA)	Claims	1-31	YES
	Claims		NO

### 2. Citations and explanations

1. In establishing the present preliminary report, reference is made to the following documents:

- D1: US-A-5 445 815
- D2: EP-A-0 821 939
- D3: WO-A-97/00851 (cited in the description)
- D4: US-A-5 518 713 (cited in the description)
- D5: US-A-5 338 539 (cited in the description)
- D6: EP-A-0 582 189 (cited in the description)
- D7: EP-A-0 818 450 (cited in the description)
- D8: EP-A-0 654 469 (cited in the description)
- D9: US-A-5 601 811 (cited in the description)
- D10: SCHÖFFLING DR U, Trier, ARZNEIFORMENLEHRE, DAV, Stuttgart, 1998
- D11: RAAB W AND KINDL U, PFLEGEKOSMETIK, WISSENSCHAFTLICHE VERLAGSGESELLSCHAFT MBH, Stuttgart, 1999.

2. Claim 1 relates to the use of mixtures of micronised organic UV filters to protect human and animal skin and hair against the harmful effect of UV radiation.

The use of organic UV filters to protect human and animal skin and hair against the harmful effect of UV radiation has long been known (see *inter alia* D1 to D9). The use of mixtures of organic UV filters, in order to cover a broader UV spectrum (see *inter alia* D11), is also generally known. Moreover it remains undefined in Claim 1 what "mixtures" are of interest. The use in Claim 1 of the term "micronised" is not adequate to establish clearly novelty over, *inter alia*, D1. "Micronised" is vague and in the absence of precise dimensional information is taken to be a synonym for "finely divided". Moreover the use of finely divided and micronised products is conventional in the field of pharmacology - see *inter alia* D10.

D1 describes "composites" obtained by combining at least two organic UV filters. Therefore the subject matter of Claim 18 is not novel.

The cosmetic or pharmaceutical formulations claimed in Claims 29 and 31 encompass all formulations with organic filters described in the prior art (see D1 to D9), since it is not clear from the wording of said claims whether micronised UV filters are present nor exactly what mixtures (of identical or different classes of compound) are of interest.

The above analysis clearly applies likewise to the subject matter of all the other claims. Other features correspond to conventional features from the field of UV filter preparations - see *inter alia* D11.

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

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Therefore, at present it is not evident what  
invention is claimed in the present application.

**VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT  
AUF DEM GEBIET DES PATENTWESENS**

**PCT**

**INTERNATIONALER RECHERCHENBERICHT**

(Artikel 18 sowie Regeln 43 und 44 PCT)

Aktenzeichen des Anmelders oder Anwalts <b>HP/2-22037/A</b>	<b>WEITERES VORGEHEN</b> siehe Mitteilung über die Übermittlung des internationalen Recherchenberichts (Formblatt PCT/ISA/220) sowie, soweit zutreffend, nachstehender Punkt 5
Internationales Aktenzeichen <b>PCT/EP 00/ 05314</b>	Internationales Anmeldedatum (Tag/Monat/Jahr) <b>08/06/2000</b>
	(Frühestes) Prioritätsdatum (Tag/Monat/Jahr) <b>18/06/1999</b>

Anmelder

**CIBA SPECIALTY CHEMICALS HOLDING INC.**

Dieser internationale Recherchenbericht wurde von der Internationalen Recherchenbehörde erstellt und wird dem Anmelder gemäß Artikel 18 übermittelt. Eine Kopie wird dem Internationalen Büro übermittelt.

Dieser internationale Recherchenbericht umfaßt insgesamt 2 Blätter.

☒ Darüber hinaus liegt ihm jeweils eine Kopie der in diesem Bericht genannten Unterlagen zum Stand der Technik bei.

**1. Grundlage des Berichts**

a. Hinsichtlich der **Sprache** ist die internationale Recherche auf der Grundlage der internationalen Anmeldung in der Sprache durchgeführt worden, in der sie eingereicht wurde, sofern unter diesem Punkt nichts anderes angegeben ist.

☐ Die internationale Recherche ist auf der Grundlage einer bei der Behörde eingereichten Übersetzung der internationalen Anmeldung (Regel 23.1 b) durchgeführt worden.

b. Hinsichtlich der in der internationalen Anmeldung offenbarten **Nucleotid- und/oder Aminosäuresequenz** ist die internationale Recherche auf der Grundlage des Sequenzprotokolls durchgeführt worden, das

☐ in der internationalen Anmeldung in Schriftlicher Form enthalten ist.

☐ zusammen mit der internationalen Anmeldung in computerlesbarer Form eingereicht worden ist.

☐ bei der Behörde nachträglich in schriftlicher Form eingereicht worden ist.

☐ bei der Behörde nachträglich in computerlesbarer Form eingereicht worden ist.

☐ Die Erklärung, daß das nachträglich eingereichte schriftliche Sequenzprotokoll nicht über den Offenbarungsgehalt der internationalen Anmeldung im Anmeldezeitpunkt hinausgeht, wurde vorgelegt.

☐ Die Erklärung, daß die in computerlesbarer Form erfaßten Informationen dem schriftlichen Sequenzprotokoll entsprechen, wurde vorgelegt.

2. ☐ Bestimmte Ansprüche haben sich als nicht recherchierbar erwiesen (siehe Feld I).

3. ☐ Mangelnde Einheitlichkeit der Erfindung (siehe Feld II).

**4. Hinsichtlich der Bezeichnung der Erfindung**

☒ wird der vom Anmelder eingereichte Wortlaut genehmigt.

☐ wurde der Wortlaut von der Behörde wie folgt festgesetzt:

**5. Hinsichtlich der Zusammenfassung**

☒ wird der vom Anmelder eingereichte Wortlaut genehmigt.

☐ wurde der Wortlaut nach Regel 38.2b) in der in Feld III angegebenen Fassung von der Behörde festgesetzt. Der Anmelder kann der Behörde innerhalb eines Monats nach dem Datum der Absendung dieses internationalen Recherchenberichts eine Stellungnahme vorlegen.

6. Folgende Abbildung der Zeichnungen ist mit der Zusammenfassung zu veröffentlichen: Abb. Nr. \_\_\_\_\_

☐ wie vom Anmelder vorgeschlagen

☐ weil der Anmelder selbst keine Abbildung vorgeschlagen hat.

☐ weil diese Abbildung die Erfindung besser kennzeichnet.

☐ keine der Abb.

**A. KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES**

IPK 7 A61K7/42

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

**B. RECHERCHIERTE GEBIETE**

Recherchierter Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)

IPK 7 A61K

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

CHEM ABS Data

**C. ALS WESENTLICH ANGESEHENE UNTERLAGEN**

Kategorie*	Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile	Betr. Anspruch Nr.
X	US 5 445 815 A (R. SIEGFRIED) 29. August 1995 (1995-08-29) das ganze Dokument	1, 18
A	EP 0 821 939 A (3V SIGMA S.P.A.) 4. Februar 1998 (1998-02-04) Beispiel 2	1

☐ Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen

☒ Siehe Anhang Patentfamilie

\* Besondere Kategorien von angegebenen Veröffentlichungen :

"A" Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist

"E" älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist

"L" Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft erscheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt)

"O" Veröffentlichung, die sich auf eine mündliche Offenbarung, eine Benutzung, eine Ausstellung oder andere Maßnahmen bezieht

"P" Veröffentlichung, die vor dem internationalen Anmeldedatum, aber nach dem beanspruchten Prioritätsdatum veröffentlicht worden ist

"T" Spätere Veröffentlichung, die nach dem internationalen Anmeldedatum oder dem Prioritätsdatum veröffentlicht worden ist und mit der Anmeldung nicht kollidiert, sondern nur zum Verständnis des der Erfindung zugrundeliegenden Prinzips oder der ihr zugrundeliegenden Theorie angegeben ist

"X" Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann allein aufgrund dieser Veröffentlichung nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden

"Y" Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann nicht als auf erfinderischer Tätigkeit beruhend betrachtet werden, wenn die Veröffentlichung mit einer oder mehreren anderen Veröffentlichungen dieser Kategorie in Verbindung gebracht wird und diese Verbindung für einen Fachmann naheliegend ist

"Z" Veröffentlichung, die Mitglied derselben Patentfamilie ist

Datum des Abschlusses der internationalen Recherche

13. Oktober 2000

Absendedatum des internationalen Recherchenberichts

20/10/2000

Name und Postanschrift der Internationalen Recherchenbehörde

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Bevollmächtigter Bediensteter

Glikman, J-F



# INTERNATIONAL SEARCH REPORT

Information on patent family members



International Application No

PCT/EP 00/05314

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 5445815	A	29-08-1995	US 5676934 A	14-10-1997
EP 821939	A	04-02-1998	NONE	

10/067, 027

- 1 -

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Use of mixtures of micropigments for preventing tanning and for lightening skin and hair

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The present invention relates to the use of mixtures of micronized organic UV filters for preventing tanning and for lightening human skin and hair and to their use in cosmetic and pharmaceutical formulations.

It is known that certain organic UV filters, for example sparingly soluble benzotriazole or triazine compounds, have excellent UV filter properties if they are in micronized form.

Particularly in Asiatic countries, there is great interest in light protection filters or mixtures of light protection filters which preserve the colour of the skin following solar irradiation and, moreover, are able to impart a lighter appearance to the skin.

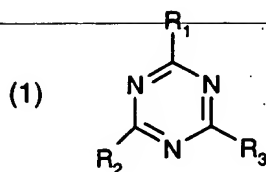
The object of the present invention is therefore to find micronized organic UV filters which prevent tanning of the skin and at the same time are able to lighten the skin.

Surprisingly, we have now found that micronized organic UV filters or mixtures of at least two micronized UV filters can achieve this object.

The present invention therefore provides for the use of mixtures of micronized organic UV filters for preventing tanning and for lightening of human skin.

Suitable UV filters which can be used according to the invention are organic, sometimes sparingly soluble, compounds, for example triazine derivatives, in particular hydroxyphenyltriazine compounds or benzotriazole derivatives, amides containing a vinyl group, cinnamic acid derivatives, sulfonated benzimidazoles, Fischer base derivatives, diphenylmalonitriles, oxalylamides, camphor derivatives, diphenylacrylates, paraaminobenzoic acid (PABA) and derivatives thereof, salicylates, benzophenones and also other classes of substance known as UV filters.

Preferred triazine derivatives which can be used according to the invention correspond to the formula



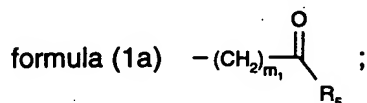
in which

$R_1$ ,  $R_2$  and  $R_3$ , independently of one another, are hydrogen; OH;  $C_1$ - $C_{18}$ alkoxy;  $-NH_2$ ;  $-NH-R_4$ ;  $-N(R_4)_2$ ;  $-OR_4$ ,

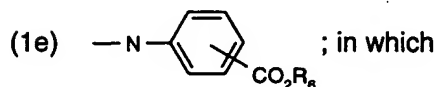
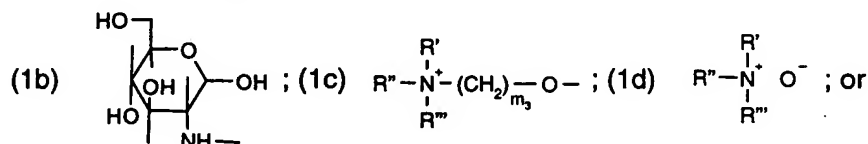
$R_4$  is  $C_1$ - $C_5$ alkyl; phenyl, phenoxy, anilino or pyrrolo which are unsubstituted or substituted by one, two or three OH groups, carboxyl,  $-CO-NH_2$ ,  $C_1$ - $C_5$ alkyl or  $C_1$ - $C_5$ alkoxy; a methylenecamphor group; a group of the formula



corresponding alkali metal, ammonium, mono-, di- or tri- $C_1$ - $C_4$ alkylammonium, mono-, di- or tri- $C_2$ - $C_4$ alkanolammonium salts, or  $C_1$ - $C_3$ alkyl esters thereof; or a radical of the



$R_5$  is hydrogen; unsubstituted  $C_1$ - $C_5$ alkyl or  $C_1$ - $C_5$ alkyl substituted by one or more OH groups;  $C_1$ - $C_5$ alkoxy; amino; mono- or di- $C_1$ - $C_5$ alkylamino; M; a radical of the formula



$R'$ ,  $R''$  and  $R'''$ , independently of one another, are unsubstituted  $C_1$ - $C_{14}$ alkyl or  $C_1$ - $C_{14}$ alkyl substituted by one or more OH groups;

$R_6$  is hydrogen; M;  $C_1$ - $C_5$ alkyl; or a radical of the formula  $-(CH_2)_{m_2}-O-T_1$  ;

M is a metal cation;

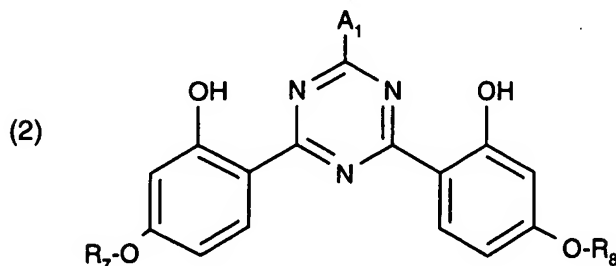
$T_1$  is hydrogen; or  $C_1$ - $C_8$ alkyl;

m is 0 or 1

$m_2$  is 1 to 4; and

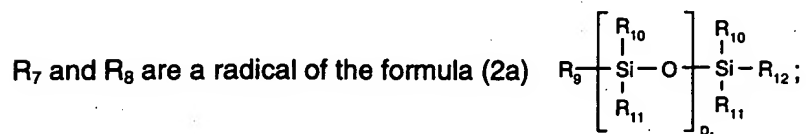
$m_3$  is 2 to 14.

Further preferred triazine derivatives which can be used according to the invention correspond to the formula



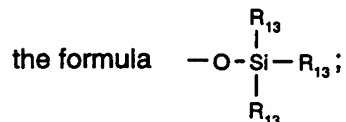
in which

$R_7$  and  $R_8$ , independently of one another, are  $C_1$ - $C_{18}$ alkyl;  $C_2$ - $C_{18}$ alkenyl; a radical of the formula  $-CH_2-CH(-OH)-CH_2-O-T_1$  ; or



$R_9$  is the direct bond; a straight-chain or branched  $C_1$ - $C_4$ alkylene radical or a radical of the formula  $-C_{m_1}H_{2m_1}O-$  ;

$R_{10}$ ,  $R_{11}$  and  $R_{12}$ , independently of one another, are  $C_1$ - $C_{18}$ alkyl;  $C_1$ - $C_{18}$ alkoxy or a radical of

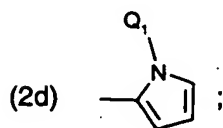
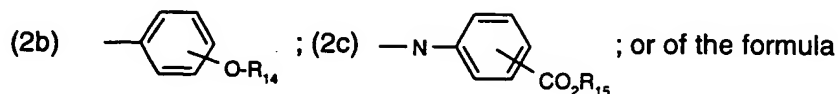


$R_{13}$  is  $C_1$ - $C_5$ alkyl;

$m_1$  is 1 to 4;

$p_1$  is 0 to 5;

$A_1$  is a radical of the formula



$R_{14}$  is hydrogen;  $C_1$ - $C_{10}$ alkyl,  $-(CH_2CHR_{16}-O)_{n_1}-R_{15}$ ; or a radical of the formula

$-CH_2-CH(-OH)-CH_2-O-T_1$ ;

$R_{15}$  is hydrogen; M;  $C_1$ - $C_5$ alkyl; or a radical of the formula  $-(CH_2)_{m_2}-O-(CH_2)_{m_3}-T_1$ ;

$R_{16}$  is hydrogen; or methyl;

$T_1$  is hydrogen; or  $C_1$ - $C_8$ alkyl;

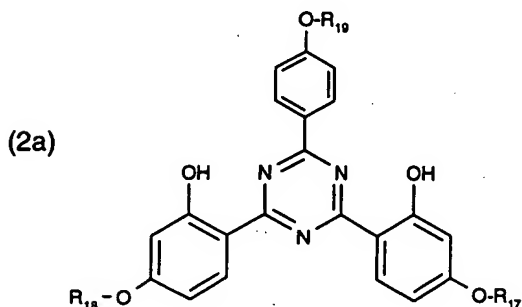
$Q_1$  is  $C_1$ - $C_{18}$ alkyl;

M is a metal cation;

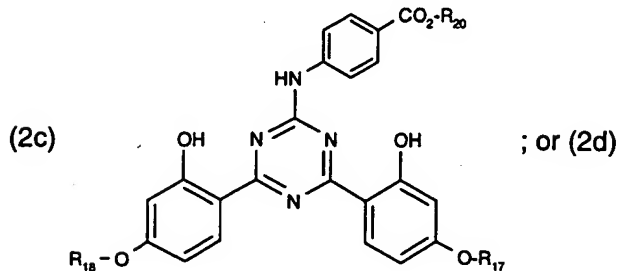
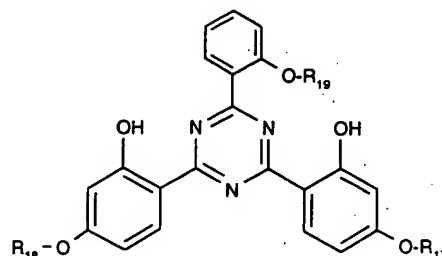
$m_2$  and  $m_3$ , independently of one another, are 1 to 4; and

$n_1$  is 1 to 16.

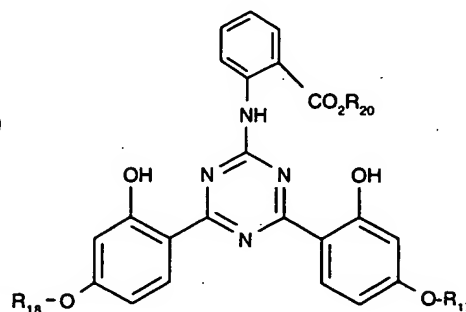
Very particularly preferred triazine derivatives of the formula (2) correspond to the formulae



; (2b)



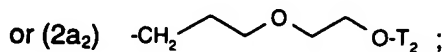
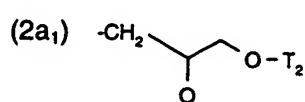
; or (2d)



in which

$R_{17}$  and  $R_{18}$ , independently of one another, are  $C_3$ - $C_{18}$ alkyl; or  $-CH_2-CH(-OH)-CH_2-O-T_1$ ;

$R_{19}$  is  $C_1$ - $C_{10}$ alkyl or a radical of the formula



$R_{20}$  is hydrogen; M;  $C_1$ - $C_5$ alkyl;  $-NH$ - $C_1$ - $C_5$ alkyl; preferably  $-NH$ -tert-alkyl; or a radical of the formula  $-(CH_2)_m-O-T_2$ ;

$T_1$  and  $T_2$ , independently of one another, are hydrogen; or  $C_1$ - $C_5$ alkyl; and  $m$  is 1 to 4.

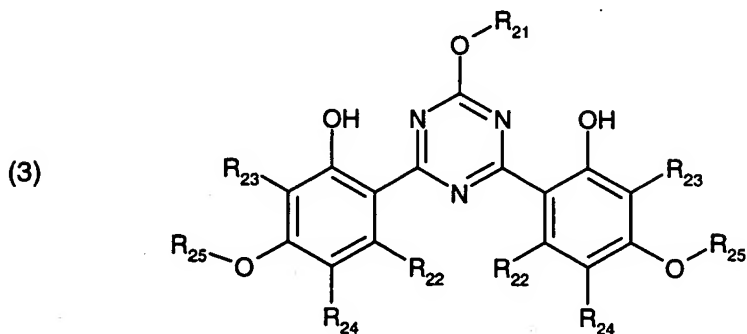
Of very particular interest are compounds of the formula (2a) and (2b) in which  $R_{17}$  and  $R_{18}$ , independently of one another, are  $C_1$ - $C_{18}$ alkyl; or  $-CH_2-CH(OH)-CH_2-O-T_1$ ;  $R_{19}$  is  $C_1$ - $C_{10}$ alkyl;

and compounds of the formula (2c) and (2d) in which

$R_{17}$  and  $R_{18}$ , independently of one another, are  $C_1$ - $C_{18}$ alkyl or  $-CH_2-CH(OH)-CH_2-O-T_1$ ; and  $T_1$  is hydrogen; or  $C_1$ - $C_5$ alkyl.

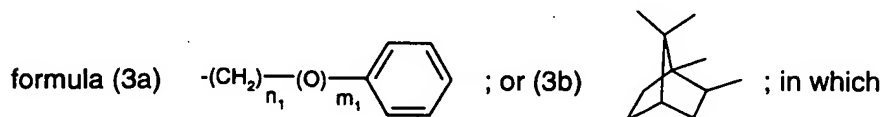
Of the utmost interest are triazine compounds of the formula (2a) - (2d) in which  $R_{17}$  and  $R_{18}$  have the same meanings.

Further interesting triazine compounds which can be used according to the invention correspond to the formula



in which

$R_{21}$  is  $C_1$ - $C_{30}$ alkyl;  $C_2$ - $C_{30}$ alkenyl; unsubstituted  $C_5$ - $C_{12}$ cycloalkyl or  $C_5$ - $C_{12}$ cycloalkyl mono- or polysubstituted by  $C_1$ - $C_5$ alkyl;  $C_1$ - $C_5$ alkoxy- $C_1$ - $C_{12}$ alkyl; amino- $C_1$ - $C_{12}$ alkyl;  $C_1$ - $C_5$ monoalkylamino- $C_1$ - $C_{12}$ alkyl;  $C_1$ - $C_5$ dialkylamino- $C_1$ - $C_{12}$ alkyl; a radical of the



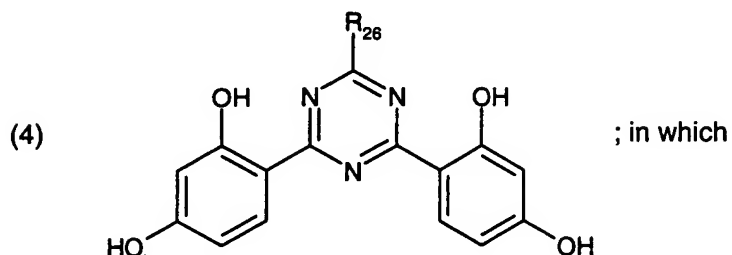
$R_{22}$ ,  $R_{23}$  and  $R_{24}$ , independently of one another, are hydrogen,  $-OH$ ;  $C_1$ - $C_{30}$ alkyl,  $C_2$ - $C_{30}$ alkenyl,

$R_{25}$  is hydrogen; or  $C_1$ - $C_5$ alkyl;

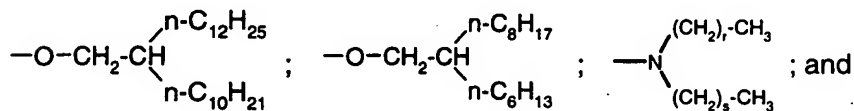
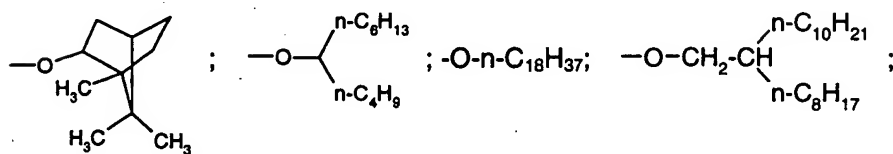
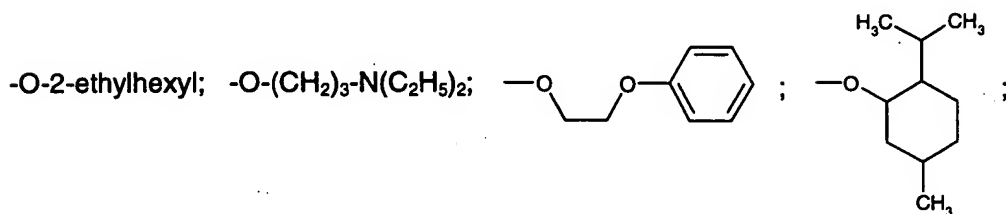
$m_1$  is 0 or 1; and

$n_1$  is 1 to 5.

Preferred compounds correspond to the formula



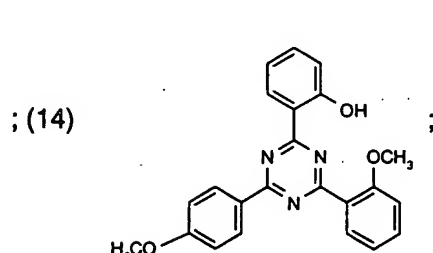
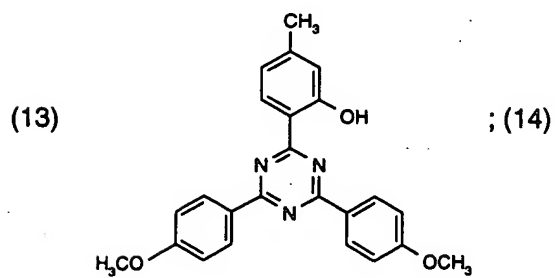
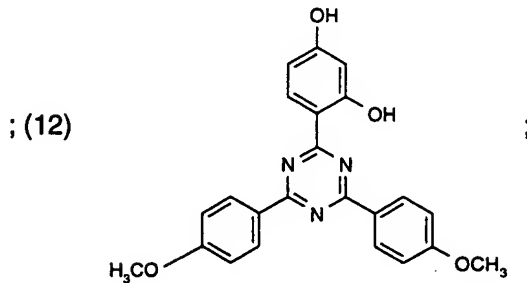
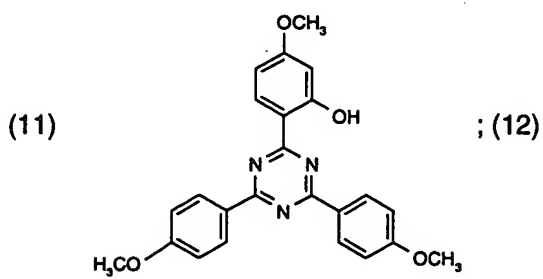
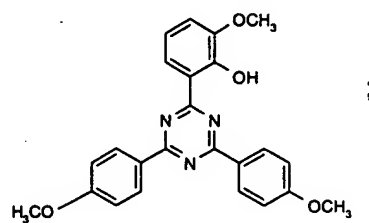
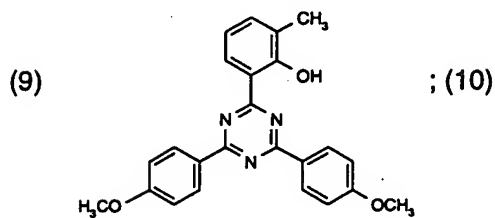
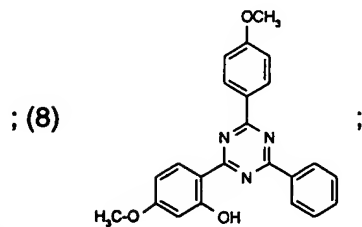
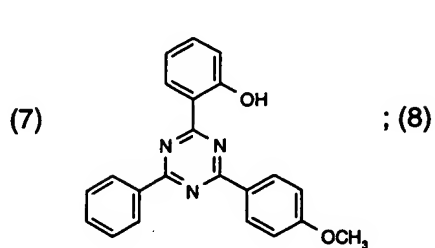
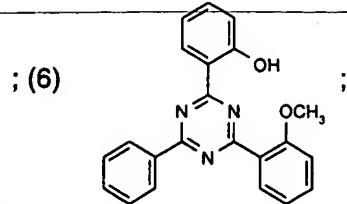
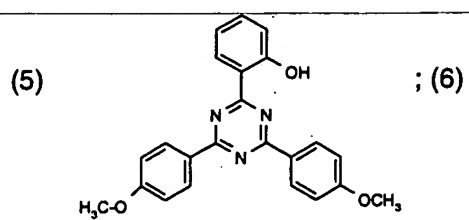
$R_{26}$  is  $-O-CH_2-CH \begin{matrix} n-C_{10}H_{21} \\ n-C_{12}H_{25} \end{matrix}$ ;  $-O-isoc_{18}H_{38}$ ;  $-O-CH_2-CH \begin{matrix} n-C_8H_{17} \\ n-C_8H_{17} \end{matrix}$ ;  $-O-n-C_{18}H_{37}$ ; or



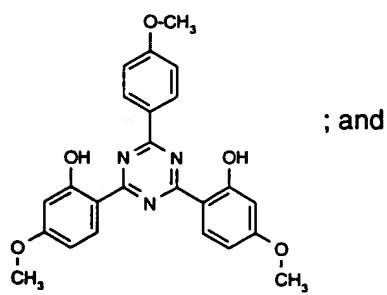
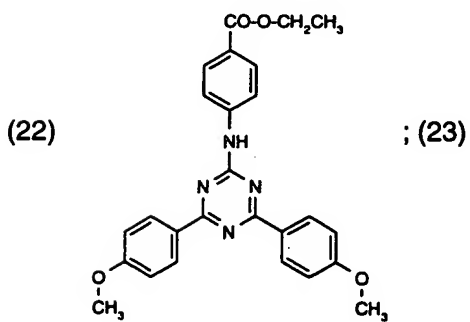
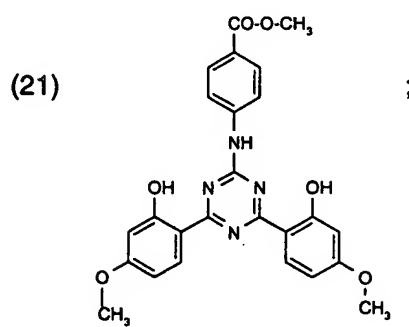
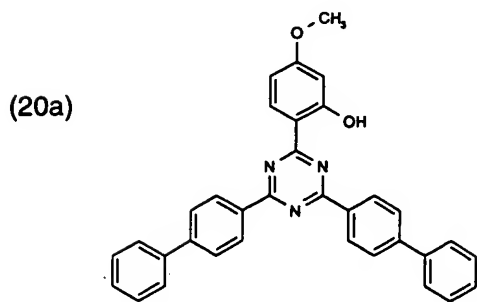
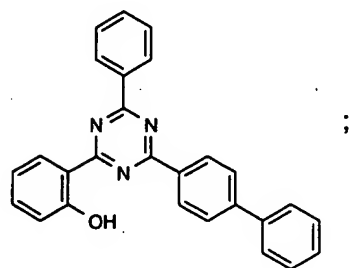
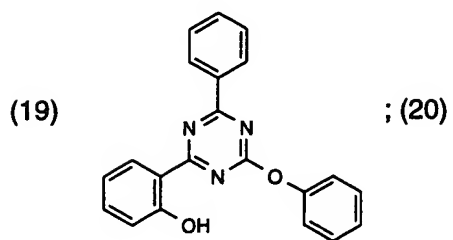
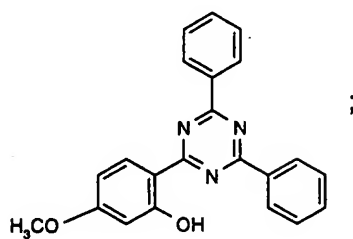
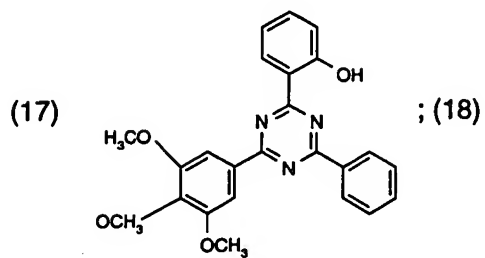
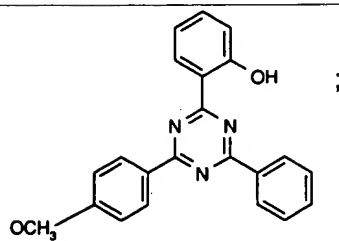
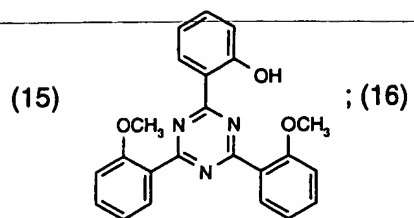
$r$  and  $s$ , independently of one another,

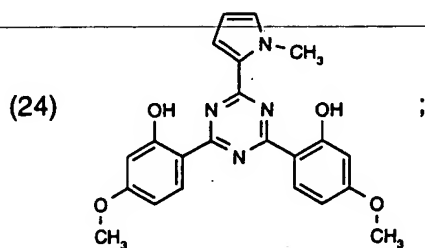
are 0 to 20.

Examples of triazine derivatives which can be used according to the invention correspond to the formulae



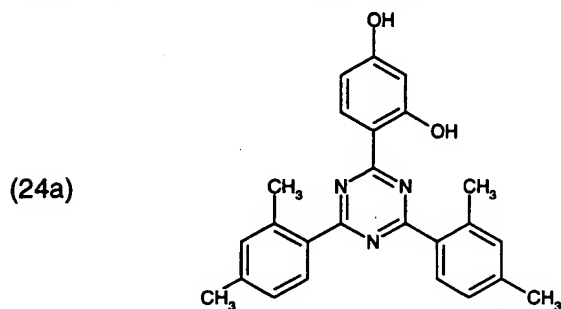




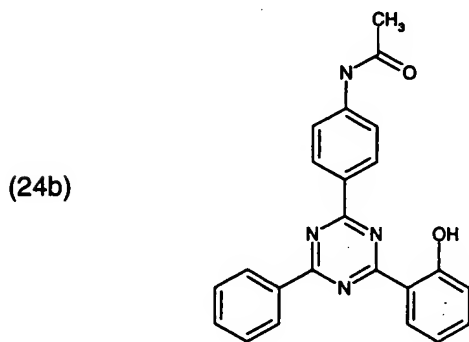


and also 2,4,6-tris(diisobutyl-4'-aminobenzalmalonate)-s-triazine and 2,4-bis(diisobutyl-4'-aminobenzalmalonate)-6-(4'-aminobenzylidenecamphor)-s-triazine.

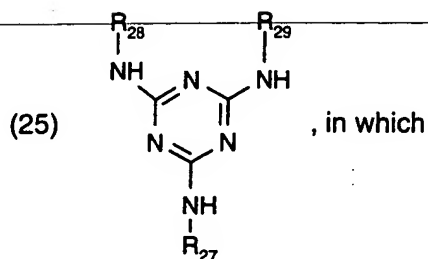
Likewise preferred triazine compounds which can be used according to the invention are described in EP-A-654469, for example the compound of the formula



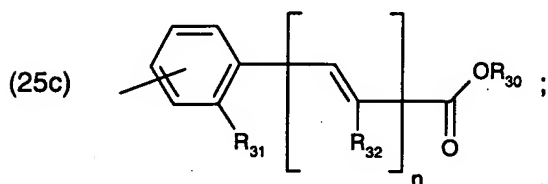
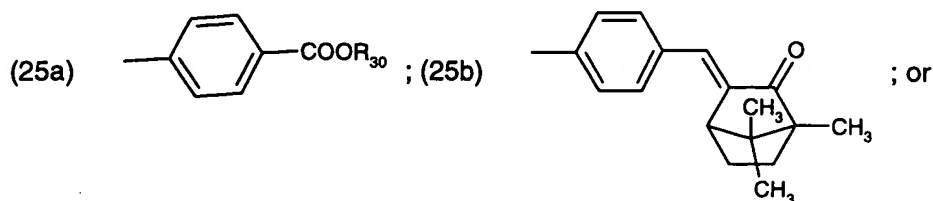
According to the invention, particularly suitable triazine compounds are those described, for example, in EP-A-0,818450, for example the compound of the formula



Very particularly preferred triazine derivatives which can be used according to the invention correspond to the formula



$R_{27}$ ,  $R_{28}$  and  $R_{29}$ , independently of one another, are a radical of the formula



$R_{30}$  is hydrogen; alkali metal; an ammonium group  $-N(R_{33})_4$ ,

$R_{33}$  is hydrogen;  $C_1$ - $C_5$ alkyl; or a polyoxyethylene radical which has 1 to 10 ethylene oxide units and the terminal OH group can be etherified with a  $C_1$ - $C_5$ alcohol;

$R_{31}$  is hydrogen; -OH; or  $C_1$ - $C_6$ alkoxy;

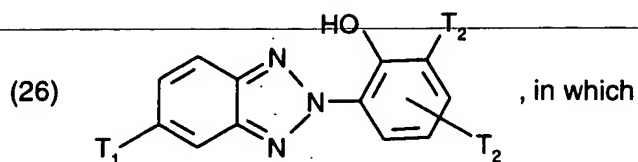
$R_{32}$  is hydrogen or  $-COOR_{30}$ ; and

$n$  is 0 or 1.

If  $R_{30}$  is alkali metal, this is in particular potassium or very particularly sodium.  $(R_{33})_4$  is in particular a mono-, di- or tri- $C_1$ - $C_4$ alkylammonium salt, a mono-, di- or tri- $C_2$ - $C_4$ alkanol-ammonium salt or a  $C_1$ - $C_3$ alkyl ester thereof.

If  $R_{33}$  is a  $C_1$ - $C_3$ alkyl group, this is in particular a  $C_1$ - $C_2$ alkyl group, in particular a methyl group, and if  $R_{33}$  is a polyoxyethylene radical, then the latter contains in particular 2 to 6 ethylene oxide units.

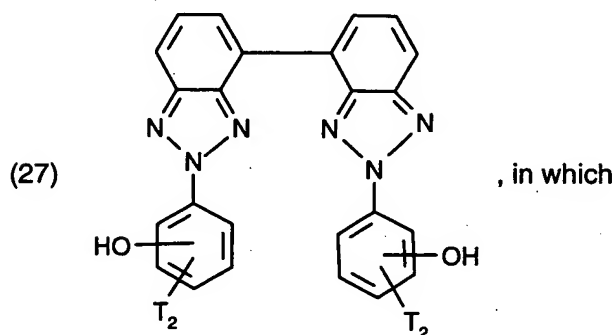
Preferred benzotriazole compounds which can be used according to the invention correspond to the formula



T<sub>1</sub> is C<sub>1</sub>-C<sub>5</sub>alkyl or, preferably, hydrogen; and

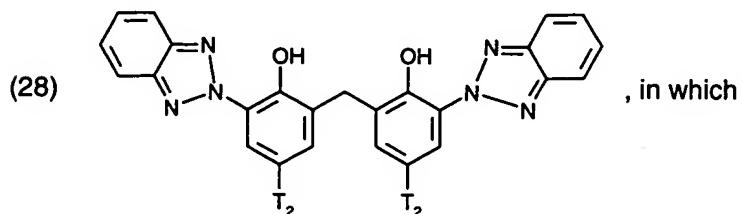
T<sub>2</sub> is C<sub>1</sub>-C<sub>5</sub>alkyl, preferably t-butyl, or phenyl-substituted C<sub>1</sub>-C<sub>4</sub>alkyl, in particular α,α-dimethylbenzyl.

A further preferred class of benzotriazole compounds which can be used according to the invention corresponds to the formula



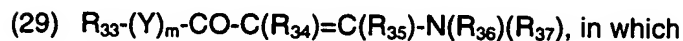
T<sub>2</sub> is as defined in formula (26).

Other very particularly preferred benzotriazole compounds which can be used according to the invention correspond to the formula



T<sub>2</sub> is as defined in formula (26) and is preferably methyl, t-butyl or isooctyl.

Preferred vinyl-containing amides which can be used according to the invention correspond to the formula



$R_{33}$  is  $C_1$ - $C_5$ alkyl, preferably methyl or ethyl, or unsubstituted phenyl or phenyl substituted by one, two or three of the radicals OH,  $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkoxy or  $CO-OR_{33}$ ;

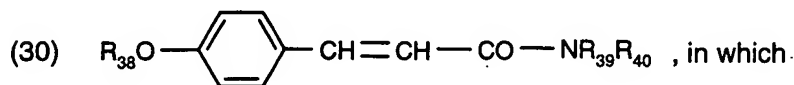
$R_{34}$ ,  $R_{35}$ ,  $R_{36}$  and  $R_{37}$ , independently of one another, are  $C_1$ - $C_5$ alkyl, preferably methyl or ethyl; or hydrogen;

Y is -NH or -O-; and

m is as defined above.

Preferred compounds of the formula (29) are 4-methyl-3-penten-2-one, ethyl 3-methyl-amino-2-butenate, 3-methylamino-1-phenyl-2-buten-1-one and 3-methylamino-1-phenyl-2-buten-1-one.

Preferred cinnamides which can be used according to the invention correspond to the formula



$R_{38}$  is hydrogen or  $C_1$ - $C_5$ alkoxy, preferably methoxy or ethoxy;

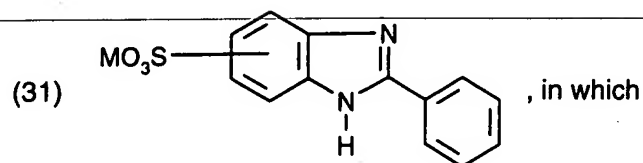
$R_{39}$  is hydrogen or  $C_1$ - $C_5$ alkyl, preferably methyl or ethyl; and

$R_{40}$  is  $-(\text{CONH})_m$ -phenyl, in which m is as defined above, and the phenyl group is unsubstituted or substituted by one, two or three of the radicals OH,  $C_1$ - $C_3$ alkyl,  $C_1$ - $C_3$ alkoxy or  $CO-OR_{30}$ .

$R_{40}$  is preferably phenyl, 4-methoxyphenyl or the phenylaminocarbonyl group.

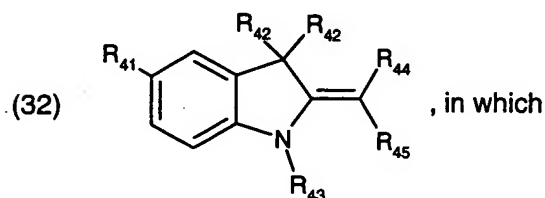
Further preferred cinnamic acid derivatives are 2-ethylhexyl 4-methoxycinnamate or isoamylate or inter alia the cinnamic acid derivatives disclosed in US-A-5 601 811 and WO 97/00851.

Preferred sulfonated benzimidazoles which can be used according to the invention correspond to the formula



M is hydrogen or an alkali metal, preferably sodium, an alkaline earth metal, for example magnesium or calcium, or zinc.

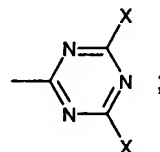
Preferred Fischer base aldehydes which can be used according to the invention correspond to the formula



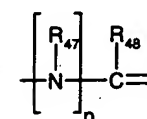
R<sub>41</sub> is hydrogen; C<sub>1</sub>-C<sub>5</sub>alkyl; C<sub>1</sub>-C<sub>18</sub>alkoxy; or halogen;

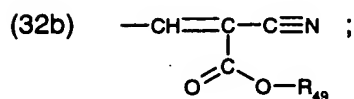
R<sub>42</sub> is C<sub>1</sub>-C<sub>8</sub>alkyl; C<sub>5</sub>-C<sub>7</sub>cycloalkyl; or C<sub>6</sub>-C<sub>10</sub>aryl;

R<sub>43</sub> is C<sub>1</sub>-C<sub>18</sub>alkyl or a radical of the formula (32a)



R<sub>44</sub> is hydrogen; or a radical of the formula  ;

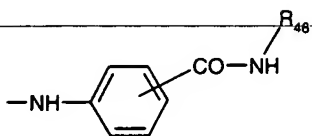
R<sub>45</sub> is  ; C<sub>1</sub>-C<sub>18</sub>alkoxy; or a radical of the formula

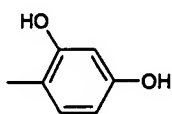


R<sub>46</sub> and R<sub>47</sub>, independently of one another, are hydrogen; or C<sub>1</sub>-C<sub>5</sub>alkyl;

R<sub>48</sub> is hydrogen; C<sub>1</sub>-C<sub>5</sub>alkyl; C<sub>5</sub>-C<sub>7</sub>cycloalkyl; phenyl; phenyl-C<sub>1</sub>-C<sub>3</sub>alkyl;

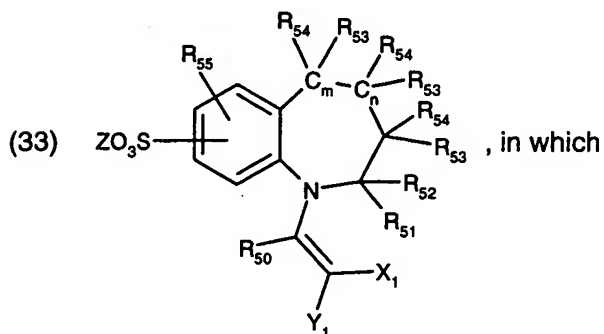
R<sub>49</sub> is C<sub>1</sub>-C<sub>18</sub>alkyl;

X is Hal; a radical of the formula (32c) ; or

(32d) ; and

n is 0 or 1.

Further compounds which can be used with preference correspond to the formula



R<sub>50</sub>, R<sub>51</sub>, R<sub>52</sub>, R<sub>53</sub>, R<sub>54</sub>, independently of one another, are hydrogen, C<sub>1</sub>-C<sub>8</sub>alkyl or C<sub>5</sub>-C<sub>10</sub>cycloalkyl;

R<sub>55</sub> is hydrogen; C<sub>1</sub>-C<sub>8</sub>alkyl; C<sub>5</sub>-C<sub>10</sub>cycloalkyl; hydroxyl; C<sub>1</sub>-C<sub>8</sub>alkoxy; COOR<sub>56</sub>; or CONR<sub>57</sub>R<sub>58</sub>;

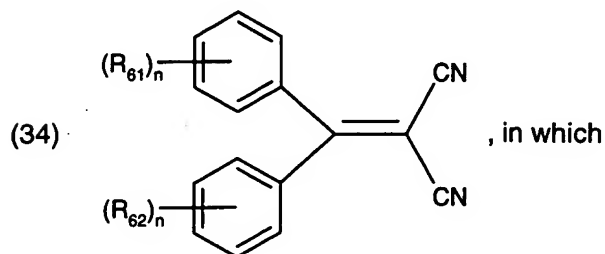
R<sub>56</sub>, R<sub>57</sub> and R<sub>58</sub>, independently of one another, are hydrogen or C<sub>1</sub>-C<sub>6</sub>alkyl;

X and Y, independently of one another, are hydrogen, -CN; CO<sub>2</sub>R<sub>59</sub>; CONR<sub>59</sub>R<sub>60</sub>; or COR<sub>59</sub>; where the radicals X and Y may additionally be a C<sub>1</sub>-C<sub>8</sub>alkyl radical, a C<sub>5</sub>-C<sub>10</sub>alkyl radical, in particular phenyl, or a heteroaryl radical having 5 to 6 ring atoms, where, in addition, X and Y or

R<sub>50</sub> together with one of the radicals X and Y can represent the radical to complete a 5- to 7-membered ring which may contain up to 3 heteroatoms, in particular oxygen and/or nitrogen, where the ring atoms may be substituted, in particular by exocyclically double-bonded oxygen (keto oxygen) and/or C<sub>1</sub>-C<sub>8</sub>alkyl and/or C<sub>5</sub>-C<sub>10</sub>cycloalkyl radicals, and/or may contain C=C double bonds;

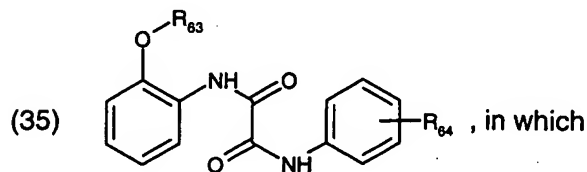
Z is hydrogen; ammonium; alkali metal ion; in particular lithium, sodium, potassium, 1/2 equivalents of alkaline earth metal ion, preferably calcium, magnesium or the cation of an organic nitrogen base used to neutralize the free acid group,  
 $R_{59}$  and  $R_{60}$ , independently of one another, are hydrogen,  $C_1$ - $C_8$ alkyl or  $C_5$ - $C_{10}$ cycloalkyl;  
 and  
 $n$  and  $m$ , independently of one another, are 0 or 1.

Preferred diphenylmalonitriles which can be used according to the invention correspond to the formula



$R_{61}$  and  $R_{62}$ , independently of one another, are  $C_1$ - $C_{12}$ alkyl; or  $C_1$ - $C_{12}$ alkoxy; and  
 $n$  is 0-3.

Other organic UV filters which can be used according to the invention correspond to the formula



$R_{63}$  and  $R_{64}$ , independently of one another, are  $C_1$ - $C_5$ alkyl, in particular ethyl.

Other preferred chemical compound classes of UV filters which can be used according to the invention are:

- p-aminobenzoic acid derivatives (PABA), in particular 2-ethylhexyl 4-dimethylamino-benzoate;



- salicylic acid derivatives, in particular 2-ethylhexyl salicylates; homosalates; and isopropyl salicylates;
- benzophenone derivatives, in particular benzophenone-2, -3, and -4;
- dibenzoylmethane derivatives, in particular 1-(4-tert-butylphenyl)-3-(4-methoxyphenyl)-propane-1,3-dione or butylmethoxydibenzoylmethane;
- diphenylacrylates, in particular 2-ethylhexyl 2-cyano-3,3-diphenylacrylate, ethyl 2-cyano-3,3'-diphenylacrylate and 3-(benzofuranyl) 2-cyanoacrylate;
- 3-imidazol-4-ylacrylic acid and 3-imidazol-4-yl acrylate;
- benzofuran derivatives, in particular the p-aminophenylbenzofuran derivatives published in EP-A-582,189, US-A-5,338,539 and US-A-5-518,713;
- camphor derivatives, in particular 3-(4'-methyl)benzylidenebornan-2-one, 3-benzylidenebornan-2-one, N-[2(and 4)-2-oxyborn-3-ylidenemethyl]benzyl]acrylamide polymer, 3-(4'-trimethylammonium)benzylidenebornan-2-one methylsulfate, 3,3'-(1,4-phenylenedimethine)-bis(7,7-dimethyl-2-oxobicyclo[2.2.1]heptane-1-methanesulfonic acid) and salts thereof, 3-(4'-sulfo)benzylidenebornan-2-one and salts thereof; and
- menthyl o-aminobenzoate.

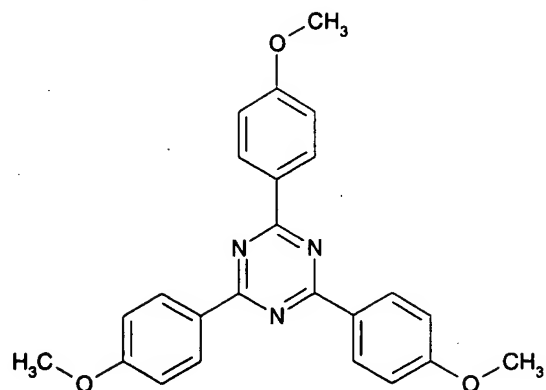
The UV filters listed above can be used according to the invention as individual compounds or also, preferably, as mixtures.

Preference is given to using the following mixtures of organic UV filters:

- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol and octyltriazone;
- mixtures of octyltriazone and methylenebisbenzotriazolyltetramethylbutylphenol;
- mixtures of 2-[(2,4-methoxy)phenyl]-4,6-bis[(2-hydroxy-4-methoxy)phenyl]-(1,3,5)triazine and methylenebisbenzotriazolyltetramethylbutylphenol;
- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol and dioctylbutamidotriazone;
- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol and octyl-2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol,
- mixtures of octyltriazone and trisresorcinyltriazine;

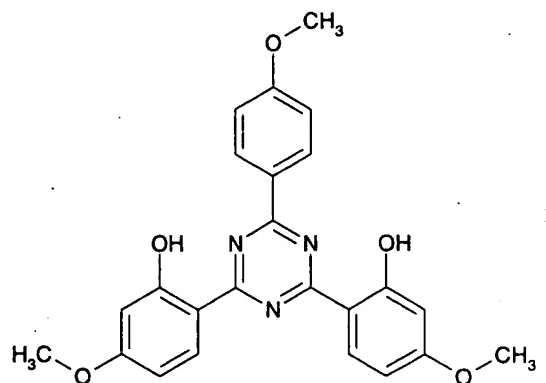
- mixtures of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol, octyltriazone

and the compound of the formula (36)



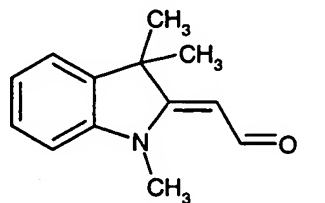
- mixtures of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol, octyltriazone

and the compound of the formula (37)



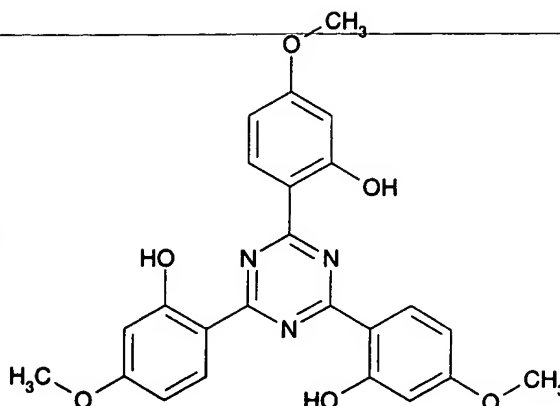
- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol, octyltriazone and the

compound of the formula (38)



- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol and the compound

of the formula (39)



- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol, dioctylbutamidotriazone and the compound of the formula (37).

In the radicals defined above,  $C_1$ - $C_{18}$ alkyl are straight-chain or branched alkyl radicals, for example methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, amyl, isoamyl or tert-amyl, heptyl, octyl, isooctyl, nonyl, decyl, undecyl, dodecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl or octadecyl.

$C_1$ - $C_{18}$ Alkoxy radicals are straight-chain or branched alkyl radicals, for example methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, sec-butoxy, tert-butoxy, amyloxy, isoamyloxy or tert-amyloxy, heptyloxy, octyloxy, isooctyloxy, nonyloxy, decyloxy, undecyloxy, dodecyloxy, tetradecyloxy, pentadecyloxy, hexadecyloxy, heptadecyloxy or octadecyloxy.

$C_2$ - $C_{18}$ Alkenyl is, for example, allyl, methallyl, isopropenyl, 2-butenyl, 3-butenyl, isobutenyl, n-penta-2,4-dienyl, 3-methylbut-2-enyl, n-oct-2-enyl, n-dodec-2-enyl, isododecenyl, n-dodec-2-enyl or n-octadec-4-enyl.

The mixtures of micronized organic UV filters which can be used according to the invention can be prepared in different ways.

Firstly, at least two of the abovementioned organic UV filters can be mixed as individual substances in the preparation process of the microparticles (micronization).

Another preparation option involves thoroughly mixing the already micronized individual substances of the UV filters together.

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A third preparation option involves melting together at least two of the abovementioned UV filters. Cooling the melt produces a homogeneous composite, which is micronized in the usual manner.

The homogeneous composites of at least two organic UV filters are also provided by the invention.

The invention further provides composites obtainable by fusing one or more inorganic micropigments into one or more organic UV filters.

Examples of micropigments are, for example,  $\text{TiO}_2$ ,  $\text{ZnO}$ , iron oxides or other inorganic oxides, mica or other suitable inorganic minerals, and also Ti, alkaline earth metal or zinc salts of organic acids.

In so doing, the undesired photocatalytic properties of some of these inorganic micropigments ( $\text{TiO}_2$ ,  $\text{ZnO}$ ) can be simultaneously suppressed, and their positive properties can also be fully utilized.

The abovementioned inorganic UV filters are advantageously fused into methylenebisbenzotriazolyltetramethylbutylphenol. The resulting composite is then micronized in the usual manner.

The invention further provides composites obtainable by melting at least two electrically neutral organic UV filters with cationically or anionically charged compounds.

For this, cationically or anionically charged compounds are melted with the corresponding organic, electrically neutral UV filters and then cooled. This process permits, in the subsequent micronization step, the preparation of organic UV filter pigments having a permanent finishing of a positive or negative charge. Such a finishing effectively prevents aggregation of the micronized particles in the sunscreen preparations which can occur in cases where the particle diameter is  $< 1\mu\text{m}$ . An otherwise customary "coating" of these particles having a repelling effect then sometimes becomes superfluous.

Cationically or anionically charged compounds which can be used are UV filters and also other compounds which have one or more cationic or anionic groups, for example

- N,N,N-trimethyl-4-(2-oxoborn-3-ylidenemethyl)aniline methysulfate;
- camphorbenzalkonium methosulfate;
- fatty amines;
- betaines, for example cocamidopropylbetaine;
- quats, for example ricinoleamidopropyltrimonium methosulfate, Quaternium 18 , or cetyltrimethylammonium bromide;
- behenic acid and other organic acids, for example isostearic acid, citricmonoglyceride or sodium methyl cocoyl taurate;
- phospholipids, for example phosphatidylcholine, phosphatidylserine or alkylamine oxide;
- ceramides and pseudoceramides and phytosterols.

The last-named compounds impart an oleophobic finishing to the micronized UV filters.

The proportion of cationic or anionic compounds in the composite is between 0.001 and 5% by weight, preferably 0.01 to 3% by weight, based on the weight of the UV filter(s).

The invention further provides composites obtainable by melting at least one sparingly soluble or insoluble organic UV filter with antioxidants.

For this, the sparingly soluble or insoluble organic UV filter(s) is/are melted together with antioxidants, cooled and then micronized in the usual manner.

Suitable antioxidants which can be used according to the invention are all organic substances having scavenger properties which can be melted together with organic UV filters. This gives novel types of micropigments which simultaneously prevent tanning of the skin and offer antioxidative action on its surface. This property is desired for cosmetic sun protection since, under the influence of UV and light, harmful free radicals can be formed both in formulations and on the skin. These can, for example, lead to so-called Mallorca acne or to premature skin ageing. By finishing the micronized UV filters with antioxidants, not only is protection against UV damage and prevention of tanning achieved, but also protection against photochemical degradation of constituents in the sunscreen formulation.

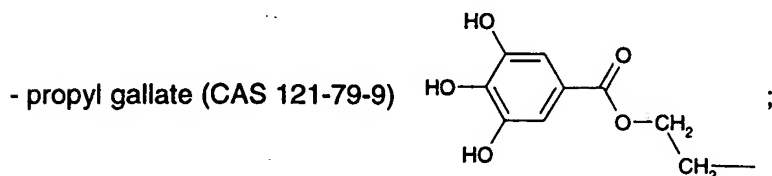
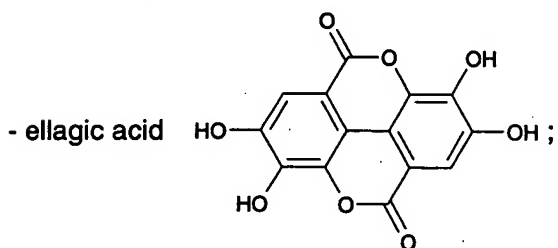
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The proportion of antioxidants in the composite is generally between 0.001 and 30% by weight, preferably 0.01 to 3% by weight, based on the weight of the UV filter(s).

A content of antioxidants is particularly advantageous in micropigments which, in addition to organic UV filters, comprise the abovementioned photocatalytically active inorganic micropigments, for example titanium dioxide, zinc oxide (including coated) or other suitable inorganic oxides, for example iron oxide.

Examples of antioxidants which may be listed are the following compounds:

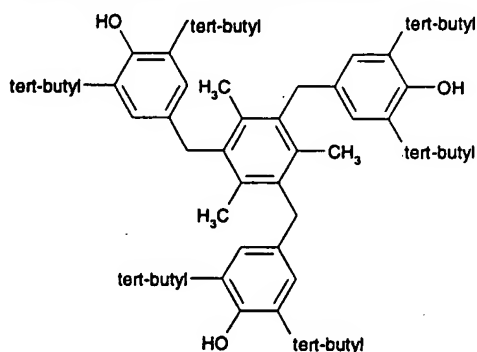
- tocopherols, for example  $\alpha$ -tocopherol (CAS 59-02-9), tocopheryl acetate, vitamin E succinate,



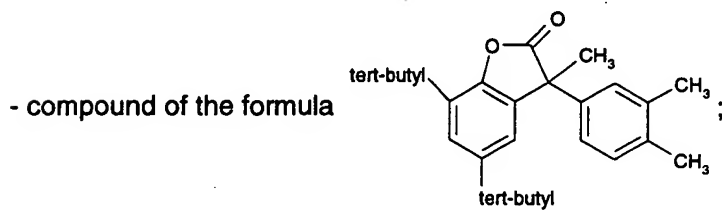
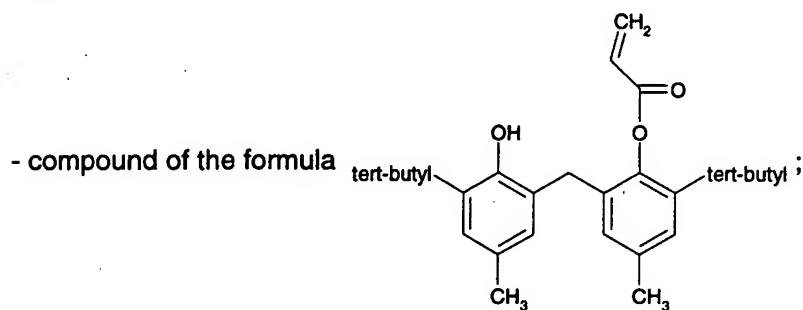
- N-butylated hydroxytoluene (BHT; CAS 128-37-0);

- butylated hydroxyanisole (BHA);
-

- 2,4,6-tris(3,5-di-*t*-butyl-4-hydroxybenzyl)mesitylene (CAS 1709-70-2)



- tetrakis[methylene-3(3',5'-di-*t*-butyl-4'-hydroxyphenyl)propionate]methane (CAS 6683-19-8);

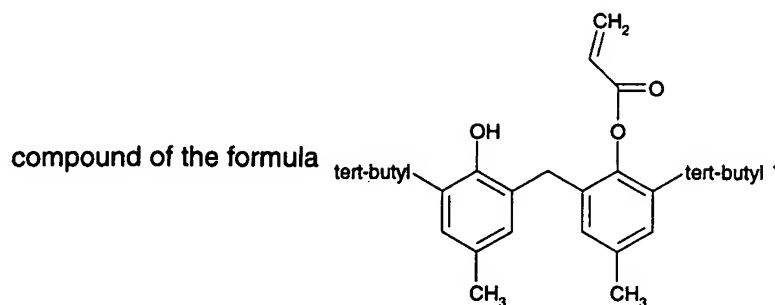
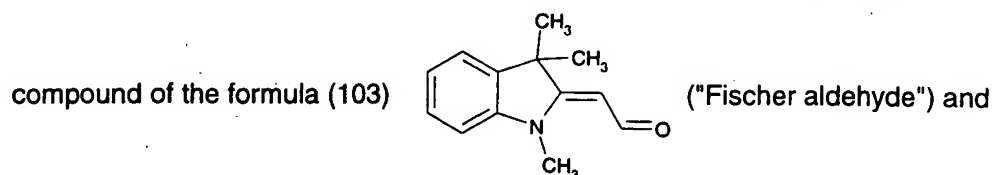


- vanillin;
- ubiquinone;
- ferulic acid and derivatives;
- rutic acid and derivatives;
- urocanic acid and derivatives; and
- propolis.

Preference is given to using the following mixtures of antioxidants and organic UV filters:

- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol, octyltriazone, titanium dioxide and tocopherol,
- mixtures of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol, octyltriazone, trisresorcinyltriazine and vitamin E

- mixtures of methylenebisbenzotriazolyltetramethylbutylphenol, octyltriazone,



The invention further provides composites obtainable by fusing meltable cosmetic, vegetable and pharmaceutical active ingredients into organic UV filters.

In general, micronized UV filters can be used as carriers of highly active substances, in particular cosmetic and/or pharmaceutical active substances. The advantage of such composites lies in the fact that it is possible for them to release the active substance(s) from the solid (slow release). A slow release also guarantees the uniform effectiveness of highly active active ingredients, for example antiinflammatories, care active ingredients or trace elements, for example  $\text{Zn}^{2+}$  or  $\text{Mg}^{2+}$ , over the entire useful life of the UV pigments.

Examples of active ingredients which can be used and which may be mentioned are:

- active ingredients for antimicrobial finishing and simultaneous antiinflammatory action, for example triclosan or diclosan;
- antiinflammatory active ingredients, for example farnesol, panthenol or avocado oil;
- active ingredients having a deodorant or antiperspirant action, for example Zn ricinoleates and alkyl citrates,
- undecylenic acid and derivatives thereof (e.g. diethanolamides)
- zinc undecylate;
- pyrithiones, for example sodium pyrithione;



- fused-in fragrances or fragrance mixtures, for example menthol, geraniol etc., which impart a permanent odour which is uniform in intensity to these micropigments and the formulations which comprise them.
- 

To prepare the micronized organic UV filters or the micropigment mixtures, it is possible to use all known processes which are suitable for the preparation of microparticles, for example:

- wet grinding with a hard grinding medium, for example zirconium silicate and a protective surfactant or a protective polymer in water or a suitable organic solvent;
- spray drying from a suitable solvent, for example aqueous or organic suspensions containing solvent, or true solutions in water, ethanol, dichloroethane, toluene, N-methylpyrrolidone etc.;
- by expansion of supercritical liquids (e.g. CO<sub>2</sub>) in accordance with the RESS process (Rapid Expansion of Supercritical Solutions) in which the UV filter(s) is/are dissolved or expansion of liquid carbon dioxide together with a solution of one or more UV filters in a suitable organic solvent;
- by reprecipitation from suitable solvents, including supercritical liquids (GASR process = Gas Anti-Solvent Recrystallization / PCA process = Precipitation with Compressed Antisolvents).

Grinding apparatuses which can be used for the preparation of the micronized organic UV absorbers according to the invention are, for example, a jet, ball, vibratory or hammer mill, preferably a high-speed stirred mill. Grinding preferably takes place using a grinding auxiliary, for example an alkylated vinylpyrrolidone polymer, a vinylpyrrolidone/vinyl acetate copolymer, an acyl glutamate, an alkyl polyglucoside, cetareth-25 or, in particular, a phospholipid.

The resulting micropigments or mixtures of micropigments usually have an average particle size of from 0.02 to 2 nm, preferably 0.05 to 1.5 nm, and very particularly from 0.1 to 1.0 nm.

Because of their lipophilicity, they can, alone or together with other soluble organic UV absorbers, be readily incorporated into oil- and fat-containing cosmetic formulations, for example oils, O/W or W/O emulsions, wax pencils or gels, by known methods.

Surprisingly, formulations are obtained which have equal or improved protective action using less or even no soluble UV absorbers.

The invention further provides a cosmetic formulation comprising a mixture of micropigments, if desired one or more antioxidants and/or inorganic pigments and/or a cationic or anionic compound, and cosmetically compatible carriers or auxiliaries.

Cosmetic formulations according to the invention include various cosmetic compositions. In particular, the following compositions are, for example, suitable:

- skincare compositions, for example skin washes and cleansers in the form of bar or liquid soaps, syndets or washing pastes,
- bath preparations, for example liquid (foam baths, milks, shower preparations) or solid bath preparations, for example bath tablets or bath salts;
- skincare compositions, for example skin emulsions, multiple emulsions or skin oils;
- decorative bodycare compositions, for example face make-up in the form of day creams or powder creams, face powder (loose or pressed), blusher or cream make-up, eyecare compositions, for example eyeshadow preparations, mascara, eyeliner, eye creams or eye-fix creams; lipcare compositions, for example lipstick, lip gloss, lip liner pencil, nailcare compositions, such as nail varnish, nail varnish remover, nail hardeners or cuticle removers;
- personal hygiene care compositions, for example personal hygiene washing lotions or personal hygiene sprays;
- footcare compositions, for example foot baths, foot powders, foot creams or foot balsams, special deodorants and antiperspirants or products for removing calluses;
- light protection compositions, such as sun milks, lotions, creams and oils, sun blocks or tropicals, pretanning preparations or aftersun preparations;
- skin tanning compositions, for example self-tanning creams;
- depigmentation products, for example preparations for skin bleaching or compositions for skin lightening;

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- insect-repelling compositions ("repellents"), for example insect oils, lotions, sprays or sticks;
  - deodorants, such as deodorant sprays, pump sprays and deodorant gels, sticks or roller balls;
  - antiperspirants, for example antiperspirant sticks, creams or roller balls;
  - compositions for cleansing and caring for blemished skin, for example syndets (solid or liquid), peeling or exfoliation preparations or peeling masks;
  - depilatories in chemical form, for example depilatory powders, liquid depilatories, cream or paste depilatories, depilatories in gel form or aerosol foams;
  - shaving compositions, for example shaving soap, foaming shaving creams, nonfoaming shaving creams, foams, gels, preshave preparations for dry shaving, aftershaves or aftershave lotions;
  - fragrances, for example fragrance water (eau de Cologne, eau de toilette, eau de parfum, parfum de toilette, parfum), perfume oils or perfume creams;
  - compositions for dental, denture and mouth care, for example toothpastes, gel toothpastes, tooth powders, mouthwash concentrates, antiplaque mouthrinses, denture cleaners or denture adhesives;
  - cosmetic compositions for treating hair, for example hair cleansers in the form of shampoos, hair conditioners, haircare compositions, for example pretreatment compositions, hair tonic, styling creams, styling gels, pomades, hair rinses, treatment packs, intensive hair treatments, compositions for shaping hair, for example waving agents for the preparation of permanent waves (hotwave, mildwave, coldwave), hair-smoothing preparations, liquid hair-setting compositions, hair mousses, hair sprays, bleaching agents, for example hydrogen peroxide solutions, lightening shampoos, bleaching creams, bleaching powders, bleaching pastes or oils, temporary, semipermanent or permanent hair colorants, preparations containing self-oxidizing dyes, or natural hair colorants, such as henna or camomile.

These listed end formulations can be in the form of various application forms, for example

- in the form of liquid preparations as a W/O, O/W, O/W/O, W/O/W, PIT and all other types of microemulsions,
- in the form of a gel,
- in the form of an oil, a cream, milk or lotion,
- in the form of a powder, a lacquer, a tablet or make-up,

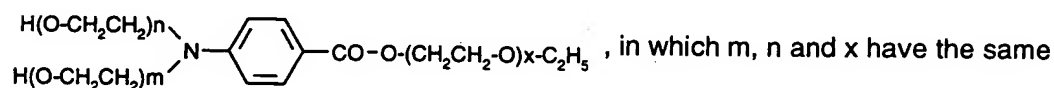
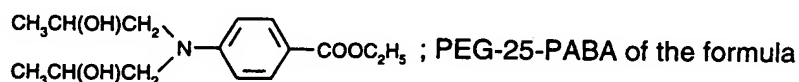
- in the form of a stick,
- in the form of a spray (spray with propellant or pump spray) or an aerosol,
- in the form of a foam, or
- in the form of a paste.

The cosmetic formulations according to the invention can advantageously comprise further substances which absorb UV radiation in the UVB region. The total amount of filter substances here is 0.1 to 30% by weight, preferably 0.5 to 10% by weight, in particular 1 to 6% by weight, based on the total weight of the composition.

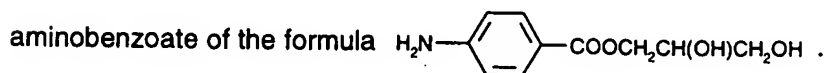
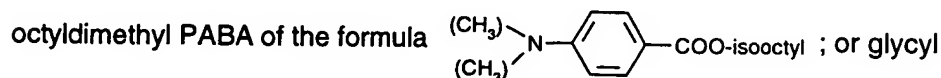
In particular, suitable additional UVB filters are oil-soluble, nonmicronized compounds, for example organic UV absorbers from the class of p-aminobenzoic acid derivatives, salicylic acid derivatives, benzophenone derivatives, dibenzoylmethane derivatives, diphenylacrylate derivatives, benzofuran derivatives, polymeric UV absorbers, comprising one or more organosilicon radicals, cinnamic acid derivatives, camphor derivatives, trianilino-s-triazine derivatives, phenylbenzimidazolesulfonic acid and salts thereof, menthyl anthranilate, benzotriazole derivatives, and/or an inorganic micropigment chosen from zinc oxide, mica or TiO<sub>2</sub> coated with aluminium oxide or silicon dioxide.

- Examples of compounds of p-aminobenzoic acid derivatives:

4-aminobenzoic acid (PABA); ethyldihydroxypropyl-PABA of the formula

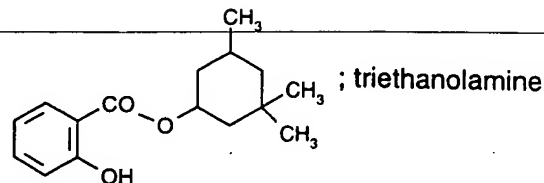


meaning and are each at most 25;

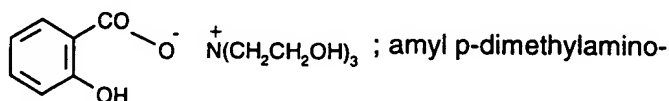


- Examples of compounds of salicylic acid derivatives:

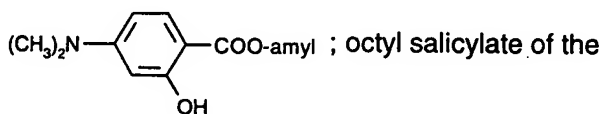
homomenthyl salicylate of the formula



salicylate of the formula



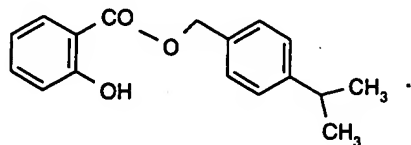
benzoate of the formula (10)



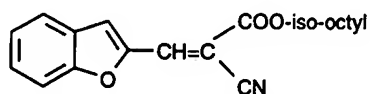
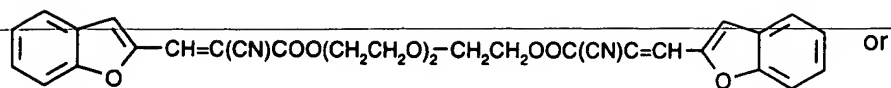
formula



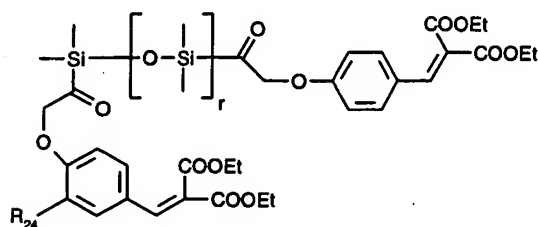
formula



- Examples of compounds of benzophenone derivatives:  
benzophenone-3-(2-hydroxy-4-methoxybenzophenone), benzophenone-4-(2-hydroxy-4-methoxybenzophenone-5-sulfonic acid) or benzophenone-8-(2,2'-dihydroxy-4-methoxybenzophenone).
- Examples of compounds of dibenzoylmethane derivatives:  
butylmethoxydibenzoylmethane[1-(4-tert-butyl)-3-(4-methoxyphenyl)propane-1,3-dione].
- Examples of compounds of diphenylacrylate derivatives:  
octocrylene 2-ethylhexyl-2-cyano-3,3'-diphenylacrylate or etocrylene ethyl-2-cyano-3,3'-diphenylacrylate.
- Examples of compounds of benzofuran derivatives:  
3-benzofuranyl 2-cyanoacrylate, 2-(2-benzofuranyl)-5-tert-butylbenzoxazole or 2-(p-aminophenyl)benzofuran and, in particular, the compound of the formula



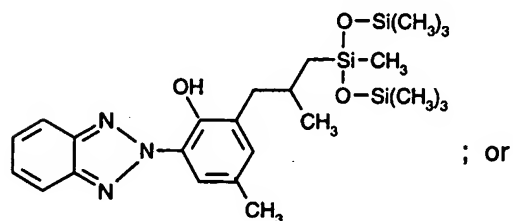
- Examples of compounds of polymeric UV absorbers which comprise one or more organosilicon radicals:  
benzylidenemalonate derivatives, in particular the compound of the formula



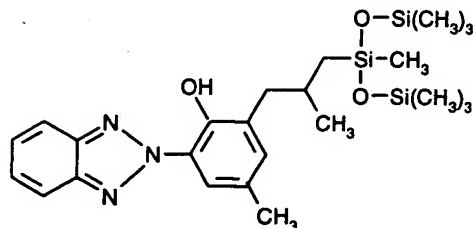
in which

$\text{R}_{24}$  is hydrogen or methoxy and

$r$  is approximately 7; the compound of the formula



; or



- Examples of compounds of cinnamic esters:  
octyl methoxycinnamate (2-ethylhexyl 4-methoxycinnamate), diethanolamine methoxycinnamate (diethanolamine salt of 4-methoxycinnamic acid), isoamyl p-

methoxycinnamate (2-isoamyl 4-ethoxycinnamate), 2,5-diisopropyl methylcinnamate or a cinnamic acid amido derivative.

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- Examples of compounds of camphor derivatives:  
4-methylbenzylidenecamphor [3-(4'-methyl)benzylidenebornan-2-one],  
3-benzylidenecamphor (3-benzylidenebornan-2-one),  
polyacrylamidomethylbenzylidenecamphor {N-[2(and 4)-2-oxyborn-3-ylidene-methyl)benzyl]acrylamide polymer}, trimoniumbenzylidenecamphor sulfate [3-(4'-trimethylammonium)benzylidenebornan-2-one methylsulfate], terephthalylidene-dicamphorsulfonic acid {3,3'-(1,4-phenylenedimethine)bis(7,7-dimethyl-2-oxobicyclo[2.2.1]heptane-1-methanesulfonic acid) or salts thereof, or benzylidene-camphorsulfonic acid [3-(4'-sulpho)benzylidenebornan-2-one] or salts thereof.
- Examples of compounds of trianilino-s-triazine derivatives:  
octyltriazine[2,4,6-trianilino(p-carbo-2'-ethyl-1'-oxy)-1,3,5-triazine, and the trianilino-s-triazine derivatives described in US-A-5,332,568, US-A-5,252,323, WO 93/17002 and WO 97/03642 and EP-A-0,517,104.
- Examples of compounds of benzotriazoles:  
2-(2-hydroxy-5-methylphenyl)benzotriazole.

The examples below serve to illustrate the invention without limiting it thereto. The cosmetic active substances are primarily given with their INCI name (INCI = International Nomenclature of Cosmetic Ingredients).

Example 1:

50 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol and 50 parts of Octyl Triazone are ground together using a grinding medium of zirconium silicate sand, a protective surfactant (Alkyl Polyglucoside) and water in a bead mill to give a mixed micropigment having a  $d_{50}$  of 190 nm. After the grinding medium has been separated off, the suspension of the mixed micropigment can be used to prepare sunscreen formulations.

Example 2:

32 parts of Octyl Triazone, 1 part of cetyltrimethylammonium bromide and 66 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol are homogeneously melted together. The mixture is rapidly cooled to room temperature, and the solidified melt is comminuted mechanically (beater mill). This resulting powder is slurried in water, Decyl Glycoside is added, and the mixture is micronized together with a grinding auxiliary ('heavy sand') to a particle size diameter  $d_{50}$  of 200 nm. After the grinding auxiliary has been removed, an aqueous suspension of the micronized UV absorber composite is obtained. This suspension is rendered slightly acidic with citric acid and can be used for the preparation of cosmetic and pharmaceutical formulations.

Example 3:

25 parts of 2-[(2,4-methoxy)phenyl]-4,6-bis[(2-hydroxy-4-methoxy)phenyl]-(1,3,5)-triazine, 74 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol and 1 part of Tetrakis[methylene-3(3',5'-di-t-butyl-4'-hydroxyphenyl)propionate]methane are homogeneously fused together. The mixture is rapidly cooled to room temperature, and the solidified melt is comminuted mechanically (beater mill). This resulting powder is slurried in water, firstly Decyl Glycoside is added, then, after continued grinding, Ceteareth-25, and the mixture is micronized together with a grinding auxiliary ('heavy sand') to a particle size diameter  $d_{50}$  of 190 nm. After the grinding auxiliary has been separated off, an aqueous suspension of the micronized UV absorber composite is obtained, which can be used for the preparation of cosmetic and pharmaceutical formulations.



Example 4:

25 parts of Dioctyl Butamido Triazone are dissolved in 75 parts of molten Methylene Bis-benzotriazolyl Tetramethylbutylphenol. The mixture is cooled rapidly, comminuted mechanically to give a fine powder and then ground with a grinding medium of zirconium silicate sand, a protective surfactant (phospholipid) and water to give a micropigment having a  $d_{50}$  of 300 nm. The micropigment suspension separated off from the grinding medium is used for the preparation of sunscreen formulations.

Example 5:

24 parts of Octyl Triazone, 5 parts of Titanium Dioxide and one part of Tocopherol are mixed into 70 parts of molten Methylene Bis-benzotriazolyl Tetramethylbutylphenol. The mixture is cooled rapidly, comminuted mechanically to give a fine powder and then ground with a grinding medium of zirconium silicate sand, a protective surfactant (Alkyl Polyglucoside) and water to give a micropigment. The micropigment suspension separated off from the grinding medium is used for the preparation of sunscreen formulations.

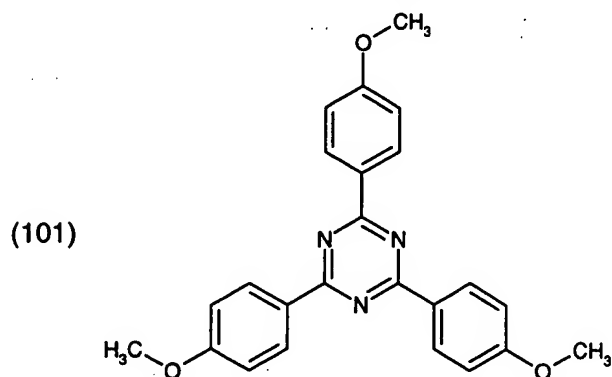
In Examples 6 to 11 below, suspensions of microcomposites having the following compositions are prepared analogously to Examples 1 and 2:

Example 6:

60 parts of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol],  
20 parts of Octyl Triazone, 19 parts of Tris Resorciny Triazine and 1 part of vitamin E, adjusted to pH 6.5 with citric acid.

Example 7:

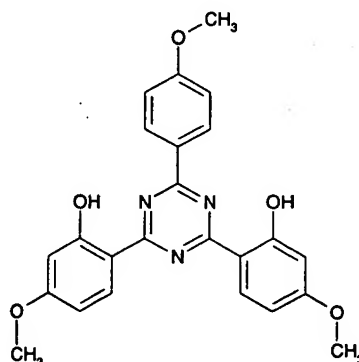
60 parts of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol],  
20 parts of Octyl Triazone and 20 parts of the compound of the formula



Example 8:

59 parts of 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-methylphenol],  
20 parts of Octyl Triazone,

20 parts of the compound of the formula (102)

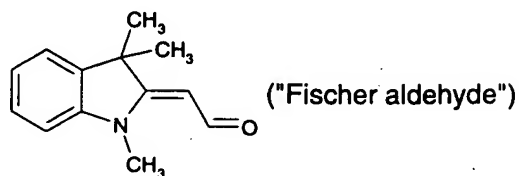


and adjusted to pH 6.5 with citric acid.

Example 9:

75 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol,  
10 parts of Octyl Triazone (grinding at pH < 5, adjusted with citric acid),

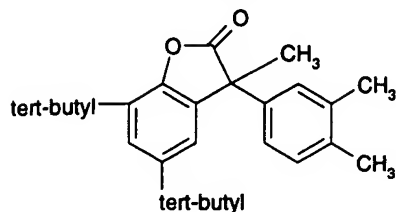
14 parts of the compound of the formula (103)



and

1 part of the compound of the formula

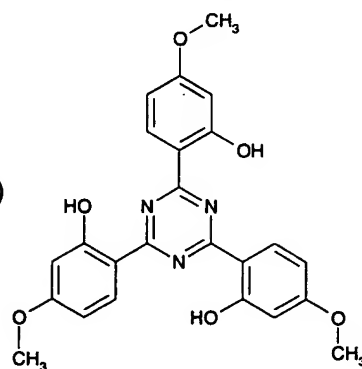
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**Example 10:**

80 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol, and

20 parts of the compound of the formula (104)



**Example 11:**

50 parts of Methylene Bis-benzotriazolyl Tetramethylbutylphenol,

10 parts of Dioctyl Butamido Triazone (grinding at pH < 5, adjusted to pH 6.5 with citric acid) and

20 parts of the compound of the formula (102).

Example 12: O/W lotion for preventing tanning

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		<u>%</u>
A	Polyglyceryl-3 Methylglucose Distearate	2.0
	Decyl Oleate	5.7
	Isopropyl Palmitate	6.0
	Caprylic/Capric Triglyceride	7.5
B	Glycerin	3.0
	Phenonip	0.5
	Water	69.3
C	Carbomer	0.2
	Isopropyl Palmitate	0.8
D	Micropigment from Example 2	5.0
E	NaOH (10%)	as required

Example 13: O/W Emulsion

	<u>%</u>
Potassium Cetyl Phosphate	2.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	3.00
Cetyl Alcohol	1.00
Phenoxyethanol&Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.10
Deionized Water	64.15
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	1.00
Micropigment from Example 1	4.00

Example 14: O/W Emulsion:

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	<u>%</u>
Cetearyl Alcohol & Dicetyl Phosphate & Ceteth-10 Phosphate	6.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol & Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.20
Deionized Water	64.70
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.65
Micropigment from Example 3	4.00

Example 15: O/W Emulsion:

	<u>%</u>
Isopropyl myristate & Trilaureth-4 Phosphate	5.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	2.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	2.00
Cetyl Alcohol	1.00
Phenoxyethanol & Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.10
Deionized Water	66.30
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.50
Micropigment from Example 4	4.00

Example 16: O/W Emulsion

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	<u>%</u>
Sodium Stearyl Lactate Tricontanyl PVP	1.50
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	3.50
Cetyl Alcohol	2.00
Phenoxyethanol & Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.20
Deionized Water	63.60
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.10
Micropigment from Example 6	4.00

Example 17: O/W Emulsion

	<u>%</u>
Cetearyl Alcohol & Sodium Cetearyl Sulfate	5.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol & Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.10
Deionized Water	65.90
Glycerin	3.00
NaOH (10%)	0.30
Micropigment from Example 9	4.00

Example 18: O/W Emulsion

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	<u>%</u>
Lauryl Glucoside & Polyglyceryl-2 Dihydroxystearate & Glycerin	3.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	4.00
Cetearyl Isononanoate	4.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	2.00
Cetyl Alcohol	3.00
Phenoxyethanol & Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.20
Deionized Water	64.49
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.21
Micropigment from Example 8	4.00

Example 19: O/W Emulsion:

	<u>%</u>
Cetaryl Glucoside & Cetearyl Alcohol	4.50
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol&Parabens	1.00
Octyl Triazone	3.00
4-Methylbenzylidene camphor	3.00
Dimethicone	0.20
Deionized Water	64.65
Steareth-10 Allyl Ether/Acrylates Copolymer	5.00
Glycerin	3.00
NaOH (10%)	1.00
Micropigment from Example 2	4.00

Example 20: O/W Emulsion

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	<u>%</u>
Cetearyl Glucoside	5.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol & Parabens	1.00
Octocrylene	3.00
Octyl Methoxycinnamate	4.00
Dimethicone	0.20
Deionized Water	63.15
Carbomer (Carbopol 981)	0.50
Glycerin	3.00
NaOH (10%)	0.15
Micropigment from Example 2	4.00

Example 21: O/W Emulsion:

	<u>%</u>
Polyglyceryl-10 Petastearate & Behenyl Alcohol & Sodium Stearoyl Laurate	2.50
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	3.00
Cetearyl Alcohol	2.00
Phenoxyethanol&Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.20
Deionized Water	64.75
Carbomer (Carbopol 981)	0.15
Glycerin	3.00
NaOH (10%)	0.40
Micropigment from Example 9	4.00



Example 22: O/W Emulsion:

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	<u>%</u>
Palmitic Acid & Stearic Acid	1.80
Glyceryl Stearate SE	3.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Glyceryl Stearate	0.50
Phenoxyethanol & Parabens	1.00
Octyl dimethyl PABA	5.00
Dimethicone	0.10
Deionized Water	64.15
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.50
Micropigment from Example 1	4.00

Example 23: O/W Emulsion:

	<u>%</u>
Glyceryl Stearate & PEG 100 Stearate	3.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Cetearyl Alcohol	3.00
Phenoxyethanol&Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.10
Deionized Water	64.60
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.20
Micropigment from Example 3	4.00

Example 24: O/W Emulsion:

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	<u>%</u>
Steareth-2	2.50
Steareth-21	1.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Cetyl Alcohol	1.00
Phenoxyethanol & Parabens	1.00
Methyl Anthranilate	3.00
Octyl Methoxycinnamate	4.00
Dimethicone	0.10
Deionized Water	63.95
Carbomer (Carbopol 981)	0.20
Glycerin	3.00
NaOH (10%)	0.25
Micropigment from Example 4	4.00

Example 25: O/W Emulsion:

	<u>%</u>
Glyceryl Stearate & Cetareth-20 & Cetareth-12 & Cetyl Alcohol & Cetyl Palmitate	5.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol & Parabens	1.00
4-Methylbenzylidene camphor	5.00
Dimethicone	0.10
Deionized Water	65.60
Carbomer (Carbopol 981)	0.10
Glycerin	3.00
NaOH (10%)	0.20
Micropigment from Example 3	4.00

Example 26: O/W Emulsion

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	<u>%</u>
Octyldecyl Phosphate	3.00
Tricontanyl PVP	1.00
Caprylic/Capric Triglyceride	5.00
Cetearyl Isononanoate	5.00
C12-15 Alkyl Benzoate	5.00
Phenoxyethanol & Parabens	1.00
Octyl methoxycinnamate	5.00
Dimethicone	0.10
Deionized Water	64.50
Sodium Cocoyl Glutamate	0.60
Steareth-10 Allyl Ether/Acrylates Copolymer	0.50
Glycerin	3.00
NaOH (10%)	2.30
Micropigment from Example 4	4.00

Example 27: O/W Emulsion:

	<u>%</u>
Polyglyceryl-3 Methyl Glucose Distearate	2.00
Tricontanyl PVP	1.00
Tocopherol&Ascorbyl Palmitate & Ascorbic Acid&Citric Acid & PEG-8	0.05
Decyl Oleate	4.50
Isopropyl Palmitate	6.00
Caprylic/Capric Triglyceride	5.00
Glyceryl Stearate	1.00
Cetearyl Alcohol	1.00
2-[(2,4-Methoxy)phenyl]-4,6-bis[(2-hydroxy-4-methoxy)phenyl]-(1,3,5)triazine	2.00
Octyl Methoxycinnamate	3.00
Deionized Water	63.12
Phenoxyethanol & Parabens	0.80
Propylene Glycol	3.00
Carbomer (Carbopol 981)	0.20
NaOH (10%)	0.33
Scleroglucan	1.00
Micropigment from Example 2	3.00
Titanium Dioxide	3.00

Example 28: O/W Emulsion

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	<u>%</u>
Methyl Glucose Sequistearate	2.50
Tricontanyl PVP	1.00
Tocopherol & Ascorbyl Palmitate & Ascorbic Acid & Citric Acid & PEG-8	0.05
Decyl Oleate	4.00
Isopropyl Palmitate	6.00
Caprylic/Capric Triglyceride	5.00
Glyceryl Stearate	1.00
Cetearyl Alcohol	1.00
2-[(2,4-Methoxy)phenyl]-4,6-bis[(2-hydroxy-4-methoxy)phenyl]-(1,3,5)triazine	2.00
Octyl Methoxycinnamate	5.00
Deionized Water	63.12
Phenoxyethanol & Parabens	0.80
Carbomer (Carbopol 981)	0.20
Glycerin	3.00
NaOH (10%)	0.33
Scleroglucan	1.00
Micropigment from Example 1	4.00

Example 29: Lipcare composition

	<u>%</u>
Glycerin	10.00
PEG-45 & Dodecyl Glycerol Copolymer	1.50
Quaternium-18 Bentonite	2.00
Microcrystalline Wax	2.00
Beeswax	2.00
Glyceryl Stearate SE	53.00
Pentaerythrithil Stearate & Caprate & Caprylate Adipate	4.00
Castor Oil	4.00
Methylene Bis-benzotriazolyl Tetramethylbutylphenol	5.00
Micropigment from Example 2	5.00
Titanium Dioxide	5.00
Zinc Oxide	5.00
Octyl Methoxycinnamate	4.00
Eucerinum anhydricum	ad 100

Example 30: W/O Emulsion

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	<u>%</u>
PEG-30 Dipolyhydroxystearate	2.00
Isostearyl Alcohol	20.00
Isostearic Acid	10.00
Octyl Triazone	3.00
Deionized Water	58.75
Glycerin	5.00
Methylparaben	0.17
Propylparaben	0.03
MgSO <sub>4</sub> ·7H <sub>2</sub> O	0.75
Micropigment from Example 2	4.00

Example 31: O/W Emulsion

	<u>%</u>
A	
Polyglyceryl-3 Methylglucose Distearate	2.0
Decyl Oleate	5.7
Isopropyl Palmitate	5.0
Caprylic/Capric Triglyceride	6.5
Octyl Methoxycinnamate	5.0
B	
Glycerol	3.0
Phenonip	0.5
Deion. Water	62.9
C	
Carbomer 141	0.2
Isopropyl Palmitate	0.8
D	
50% suspension from Example 8	8.0
E	
NaOH (10%)	as required

Example 32: O/W Emulsion

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		<u>%</u>
A	Polyglyceryl-3 Methylglucose Distearate	2.0
	Decyl Oleate	5.7
	Isopropyl Palmitate	5.0
	Caprylic/Capric Triglyceride	6.5
B	Glycerol	3.0
	Phenonip	0.5
	Deioniz. Water	62.9
C	Carbomer 141	0.2
	Isopropyl Palmitate	0.8
D	Suspension from Example 2	6.0
E	NaOH (10%)	as required

Example 33: (O/W Emulsion)

		<u>%</u>
A	Polyglyceryl-3 Methylglucose Distearate	2.0
	Decyl Oleate	5.7
	Isopropyl Palmitate	5.0
	Caprylic/Capric Triglyceride	6.5
	Octyl Triazone	2.0
B	Glycerol	3.0
	Phenonip	0.5
	Water	62.3
C	Carbomer 141	0.2
	Isopropyl Palmitate	0.8
D	2,2'-Methylenebis(6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol Micropigment Suspension (50%))	8.0
	Octyl Triazone Micropigment Suspension (50%)	4.0
E	NaOH (10%)	as required

Example 34: O/W Emulsion

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	<u>%</u>
A	
Polyglyceryl-3 Methylglucose Distearate	2.0
Decyl Oleate	5.7
Isopropyl Palmitate	5.0
Octyl Triazone	2.0
Caprylic/Capric Triglyceride	6.5
B	
Glycerol	3.0
Phenonip	0.5
Water	68.3
C	
Carbomer 141	0.2
Isopropyl Palmitate	0.8
D	
Micropigment from Example 2	6.0
E	
NaOH (10%)	as required

Example 35: W/O Emulsion

	<u>%</u>
PEG-30 Dipolyhydroxystearate (Arlacel P 135 <sup>®</sup> )	3.00
PEG-22/ Dodecyl Glycol Copolymer (Elfacos ST 37 <sup>®</sup> )	1.00
Microcrystalline Wax	1.00
Hydrogenated Castor Oil	0.50
Magnesium Stearate	1.00
Octyl Stearate	15.00
Coco Glycerides	2.00
Mineral Oil	3.00
Phenoxyethanol&Parabens	1.00
Octyl Methoxycinnamate	5.00
Dimethicone	0.10
Water	54.40
Magnesium Sulfate (MgSO <sub>4</sub> x 7 H <sub>2</sub> O)	1.00
Propylene Glycol	4.00
50% Suspension from Example 3	8.00

Example 36: W/O Emulsion

	<u>%</u>
Methoxy PEG-22/Dodecyl Glycol Copolymer (Elfacos E 200 <sup>®</sup> )	3.00
PEG-22/Dodecyl Glycol Copolymer (Elfacos ST 37 <sup>®</sup> )	3.00
Hydroxyoctacosanyl Hydroxystearate (Elfacos C 26 <sup>®</sup> )	3.00
Octyl Stearate	15.00
Coco Glycerides	2.00
Mineral Oil	3.00
Phenoxyethanol & Parabens	1.00
4-Methylbenzylidene Camphor	3.00
Dioctyl Butamido Triazone	3.00
Dimethicone	0.20
Water	53.00
Phenylbenzimidazolesulfonic acid	3.00
Magnesium Sulfate (MgSO <sub>4</sub> x 7 H <sub>2</sub> O)	0.80
Propylene Glycol	4.00
Micropigment from Example 5	3.00

Example 37: W/O Emulsion

	<u>%</u>
Polyglyceryl-2 Dipolyhydroxystearate (Dehymuls PGPH <sup>®</sup> )	2.00
PEG-30 Dipolyhydroxystearate (Arlacel P 135 <sup>®</sup> )	2.00
Hydroxyoctacosanyl Hydroxystearate (Elfacos C 26 <sup>®</sup> )	2.00
Zinc Stearate	1.00
Octyl Stearate	15.00
Coco Glycerides	2.00
Mineral Oil	3.00
Phenoxyethanol & Parabens	1.00
2,4-Bis{[4-(2-Ethylhexyloxy)-2-hydroxy]phenyl}-6-(4-methoxyphenyl)1,3,5)triazine	2.00
Octyl Salicylate	3.00
Dimethicone	0.20
Water	56.70
Magnesium Sulfate (MgSO <sub>4</sub> x 7 H <sub>2</sub> O)	1.00
Propylene Glycol	4.00
Micropigment from Example 6	5.00



Example 38: W/O Emulsion

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	<u>%</u>
Polyglyceryl-2 Dipolyhydroxystearate (Dehymuls PGPH <sup>®</sup> )	3.00
Glyceryl Oleate (Monomuls 90-O 18 <sup>®</sup> )	1.00
Caprylic/Capric Triglyceride	6.00
Octyldodecanol	6.00
Cetearyl Isononaoate	5.00
Tocopheryl Acetate	1.00
Cera alba	1.20
Glycerin (86%)	5.00
Phenonip	0.50
Octyl Methoxycinnamate	4.00
Octyl Triazone	3.00
Micropigment from Example 3	5.00
Water	ad 100

Example 39: W/O Emulsion

	<u>%</u>
Polyglyceryl-2 Dipolyhydroxystearate (Dehymuls PGPH <sup>®</sup> )	3.00
Glyceryl Oleate (Monomuls 90-O 18 <sup>®</sup> )	1.00
Caprylic/Capric Triglyceride	6.00
Octyldodecanol	6.00
Cetearyl Isononaoate	5.00
Octyl Methoxycinnamate	3.00
Tocopheryl Acetate	1.00
Cera alba	1.20
Glycerin (86%)	5.00
Phenonip	0.50
Micropigment from Example 10	5.00
Water	ad 100

Example 40: O/W Emulsion

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	<u>%</u>
Tego Care CG 90 (Goldschmidt AG)	6.00
Cetearyl Alcohol	1.50
Glycerylstearate	0.50
Octyldecanol	7.00
Capric/Caprylic Triglyceride	5.00
Cetearyl isononanoate	6.00
Octyl Methoxycinnamate	3.00
Deionized Water	51.14
Carbomer	0.20
NaOH (45%)	1.13
Glycerin	5.00
Methylparaben	0.17
Propylparaben	0.03
Terephthalylidenedibornanesulfonic acid	1.50
Micropigment from Example 5 (50% Suspension)	12.00

Example 41: O/W Microemulsion

	<u>%</u>
Ceteareth-12	8.0
Cetearyl Alcohol	4.0
Cetearyl isononanoate	20.0
Butyl Methoxydibenzoylmethane	2.0
Deionized Water	ad 100.0
Carbomer	0.2
Preservative	as required
Magnesium Sulfate ( $\text{MgSO}_4 \times 7 \text{H}_2\text{O}$ )	3.0
Micropigment from Example 9 (50% Suspension)	8.0

Example 42: O/W/O Emulsion

	<u>%</u>
Polyglyceryl-2 polyhydroxystearate	5.0
Mineral oil	12.5
Stearic acid	2.0
Cetearyl isononanoate	12.5
Methylbenzylidene Camphor	2.0
Homosalate	2.0
Deionized Water	ad 100.0
Carbomer	0.2
Preservative	as required
NaOH	as required
Micropigment from Example 2 (50% Suspension)	8.0

#### Example 43: O/W Emulsion

	<u>%</u>
Glycerin Stearate/Polyethylene glycol(MW100) stearate	3.0
Cetyl/Stearyl Alcohol 20EO (Eumulgin B 2)	1.0
Cetyl/Stearyl Alcohol (Lanette O)	2.0
Caprylic/Capric triglyceride (Myritol 318)	4.0
Dicaprylyl ether	6.0
Mineral oil and Quaternium-18 Hectorite	3.0
Glycerin stearate, Cetyl/stearyl Alcohol, Cetyl palmitate, coco glycerides (Cutina CBS)	2.0
4-Methylbenzylidene Camphor	1.0
Octyl Triazone	2.0
Deionized Water	ad 100.0
Glycerin, 85%	3.0
Preservative	as required
Magnesium aluminium silicate (Vegum Ultra)	0,3
NaOH	as required
Micropigment from Example 2 (50% Suspension)	10.0

#### Example 44:

Into the suncare product "Sensitive Skin" (children) from Lancaster (Monaco), characterized by the following ingredients: TiO<sub>2</sub>, ZnO and Aqua, Didecene, Glycerine, Cyclomethicone, Shea Butter, Sweet Almond Oil, Polyglycerin-4, Urea, Aluminium Starch, Octenyl succinate, Alumina, Parfum, MgSO<sub>4</sub>, Silica, NaCl, Tocopheryl acetate, Caffeine, PVP/Eicosene Copolymer, Shellac, Simethicone, Phenoxyethanol, NaLactate, Methylsilanol, Menthyl Lactate, Allantoin, Bisabolol, Glycine, Panthenol, Propylene Glycol, Stoneroot Extract, Lecithin, Algae Extract, Methylidibromo Glutaronitrile, PVP, Citric Acid, Copper Gluconate, Ascorbic Acid, Ascorbyl Palmitate, PEG-8, Tocopherol, Acerola, Aloe Barbadensis Gel, Melanin, Alcohol denat. Dimethicone, Guar Hydroxypropyltrimonium Chloride, Dextrin, Glycoproteins Iron oxides, were subsequently mixed 4% of micronized 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol (d50 = 200 nm). The original SPF of 15 increased as a result to 25 and, following storage for a few days, increased again to an SPF of 31.

#### Example 45:

Into the sun milk "Active Sun Care Sensitive Skin" from Marbert Cosmetics, Düsseldorf, characterized by the following ingredients: TiO<sub>2</sub>, Benzophenone-3, Isoamyl p-Methoxycinnamate, and Aqua, C<sub>12-15</sub> Alkyl benzoate, Caprylic/Capric Triglyceride, Cyclomethicone, Glycerine, Glyceryl Stearate, Cetearyl Alcohol, Tocopheryl acetate, Stearic Acid, Palmitic Acid, Parfum, NaCocoyl Lactylate, Xanthan Gum, Bisabolol, DMDM

Hydantoin, PVM/MA Decadiene Crosspolymer, Polyhydroxystearic acid, Alumina, NaOH, Glucose, Iodopropynyl Butylcarbamate, Carrageenan, Silica and Glucuronic acid, were subsequently mixed 4% of micronized 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol (d50 = 200 nm). The original SPF of 6 increased as a result to 13 and, after storage for a few days, increased again to an SPF of 16.

Example 46:

Into the sunscreen emulsion "Delial Sonnenmilch 10" from Sara Lee, Düsseldorf, characterized by the following ingredients: Octyl Methoxycinnamate, NaPhenylbenzimidazole Sulfonate, Butyl Methoxy Dibenzoylmethane and Aqua, Paraffinum liquidum, Alcohol denat., Isopropyl Palmitate, Glycerine, Cetearyl Alcohol, Glyceryl Stearate SE, Tocopheryl acetate, Phytantriol, Ascorbyl Palmitate, PEG-40 Castor Oil, NaCetearyl Sulfate, Dimethicone, Na-Carbomer, Na<sub>2</sub>-EDTA and Parfum, were subsequently mixed 4% of micronized 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol (d50 = 200 nm). The original SPF of 10 increased as a result to 18 and, after storage for a few days, increased again to an SPF of 28.

Example 47:

Into the sun protection formulation "Ambre Solaire" SPF 12 from Laboratoires Garnier, Paris/Karlsruhe, characterized by the following ingredients: TiO<sub>2</sub>, Octocrylene, Butyl Methoxy Dibenzoylmethane, Terephthalylidene dicamphor sulfonic acid and Aqua, Cyclopentasiloxane, Glycerine, Propylene glycol, Isohexadecane, Stearic acid, Octyl palmitate, Stearyl heptanoate, PVP/Eicosene Copolymer, K-Cetyl Phosphate, Buxus chinensis, Tocopheryl acetate, Hydroxypropyl Methylcellulose, Phenoxyethanol, Stearyl caprylate, PEG-100 Stearate, Ethylparaben, Triethanolamine, Dimethiconol, Dimethicone, Propylparaben, Acrylates/C<sub>10-30</sub>-Alkyl acrylate crosspolymer, Na<sub>2</sub>-EDTA, Butyrospermum parkii, Cetyl Alcohol, Methylparaben, Butylparaben, BHT, Aluminium hydroxide, Glyceryl Stearate were subsequently mixed 4% of micronized 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol (d50 = 200 nm). The original SPF of 12 increased as a result to 18 and, after storage for a few days, increased again to an SPF of 28.

Example 48:

Into the sunscreen formulation "Ambre Solaire" SPF 6 from Laboratoires Garnier, Paris/Karlsruhe, characterized by the following ingredients: TiO<sub>2</sub>, Octocrylene, Butyl

Methoxy Dibenzoylmethane, Terephthalylidene dicamphor sulfonic acid and Aqua,

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Cyclomethicone, Glycerine, Propylene glycol, Isohexadecane, Stearic acid, Octyl palmitate, Stearyl heptanoate, PVP/Eicosene Copolymer, K-Cetyl Phosphate, Buxus chinensis, Tocopheryl acetate, Hydroxypropyl Methylcellulose, Phenoxyethanol, Stearyl caprylate, PEG-100 Stearate, Ethylparaben, Triethanolamine, Dimethiconol, Dimethicone, Propylparaben, Acrylates/C<sub>10-30</sub>-Alkyl acrylate crosspolymer, Na<sub>2</sub>-EDTA, Butyrospermum parkii, Cetyl alcohol, Methylparaben, Butylparaben, BHT, Aluminium hydroxide, Glyceryl stearate and Parfum, were subsequently mixed 4% of micronized 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol (d50 = 200 nm). The original SPF of 6 increased as a result to 16 and, after storage for a few days, increased again to an SPF of 21.

Example 49: Prevention of the increase in skin tanning by a micronized UV absorber

Methylene Bis-benzotriazolyl Tetramethylbutylphenol

**Method:**

20 volunteers of direct Asian origin (father and mother) who have not been directly exposed to the sun for the past 3 months, to whom an explanation of the study has been given, from whom a declaration of consent has been obtained and who have satisfied the inclusion conditions, are treated twice daily on the test sites on the upper thigh for three weeks with a cream containing 2,2'-methylenebis(6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)-phenol or with a placebo cream.

The volunteers are irradiated on the test sites on the upper thigh 3x weekly with 0.2 to 0.5 MED UVAB.

The first application of the preparations takes place after the first irradiation. Evaluation and irradiation are carried out after each application of the test products. Comparable untreated irradiated, or untreated nonirradiated areas serve as reference.

The colour values of the test fields are documented in each case using a Minolta CM-508i camera as L\*a\*b\* values in accordance with DIN 5033, ISO 7724/1, JIS Z8722.

The colour and lightness changes are determined for each subject and ascertained as the difference between the respective skin colour of the untreated, nonirradiated reference area and the test areas. These values are averaged over all subjects and given as L\*, a\* and b\* values.

Test preparations:

(A): Composition comprising 6% of 2,2'-Methylenebis(6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol), Water, Octyl stearate, Coco glycerides, Propylene glycol, Methoxy-PEG-22/Dodecyl glycol copolymer, PEG-22/Dodecyl glycol copolymer, Hydroxyoctacosanyl hydroxystearate, Mineral oil, Phenoxyethanol & Parabens, Magnesium sulfate heptahydrate, Dimethicone, Allantoin.

(B): Composition comprising 3% of 2,2'-Methylenebis(6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)phenol), Water, Octyl stearate, Coco glycerides, Propylene glycol, Methoxy-PEG-22/Dodecyl glycol copolymer, PEG-22/Dodecyl glycol copolymer, Hydroxyoctacosanyl hydroxystearate, Mineral oil, Phenoxyethanol & Parabens, Magnesium sulfate heptahydrate, Dimethicone, Allantoin.

(C): Placebo comprising Water, Octyl stearate, Coco glycerides, Propylene glycol, Methoxy-PEG-22/Dodecyl glycol copolymer, PEG-22/Dodecyl glycol copolymer, Hydroxyoctacosanyl hydroxystearate, Mineral oil, Phenoxyethanol & Parabens, Magnesium sulfate heptahydrate, Dimethicone, Allantoin.

L\*a\*b\* values compared with nonirradiated skin following repeated UVAB irradiation (3 x weekly) and in the case of the application of compositions (A) and (B).

Preparation	Lightness L*			Red component a*			Yellow component b*		
	3	6	9	3	6	9	3	6	9
Placebo	-5.32	-12.01	-14.01	4.90	2.33	0.52	3.09	6.82	7.93
(B)	-1.05	-5.23	-7.13	0.45	0.77	-0.03	1.11	2.63	3.49
(A)	2.18	8.26	11.40	0.24	0.45	0.39	-0.38	-0.69	-0.21
Irradiated untreated	-5.19	-12.38	-14.55	5.13	1.77	-0.19	2.64	6.39	7.44

Discussion of the results:

Lightness

While the placebo-treated and the untreated irradiated areas decrease in lightness to roughly the same extent, i.e. become darker, this effect is considerably less in the case of

the application of the composition (B) over the time. In the case of the application of composition (A), lightening of the skin is found.

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Reddening

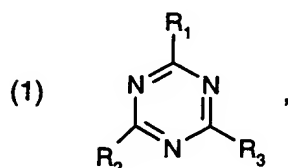
The red component of the irradiated skin is most intense after 3 irradiations and drops back to the normal value by the end of the irradiations. The increase in the red component corresponds to the development of a UV-induced erythema, which arises only to a low degree in the case of the application of compositions (A) or (B).

Yellow component

The yellow component increases both in the case of the application of placebo and in the untreated irradiated control area. The increase is much less in the case of the application of composition (B) and is prevented in the case of the application of composition (A).

What is claimed is:

1. A method for preventing tanning and for lightening human skin and hair which comprises applying to the hair and skin micronized organic UV filters.
2. A method according to claim 1, wherein the organic UV filters are chosen from triazine or benzotriazole derivatives, amides containing a vinyl group, cinnamic acid derivatives, sulfonated benzimidazoles, Fischer base derivatives, diphenylmalonitriles, oxalylamides, camphor derivatives, diphenylacrylates, paraaminobenzoic acid (PABA) and derivatives thereof, salicylates and benzophenones.
3. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



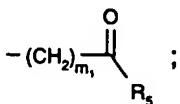
in which

$R_1$ ,  $R_2$  and  $R_3$ , independently of one another, are hydrogen; OH;  $C_1$ - $C_{18}$ alkoxy;  $-NH_2$ ;  $-NH-R_4$ ;  $-N(R_4)_2$ ;  $-OR_4$ ,

$R_4$  is  $C_1$ - $C_5$ alkyl; phenyl; phenoxy; anilino; pyrrolo, wherein phenyl, phenoxy, anilino or pyrrolo may be unsubstituted or substituted by one, two or three OH groups, carboxyl,  $-CO-NH_2$ ,  $C_1$ - $C_5$ alkyl or  $C_1$ - $C_5$ alkoxy; a methylenecamphor group; a group of the formula  $-(CH=CH)_mC(=O)-OR_4$ ; a group of the formula

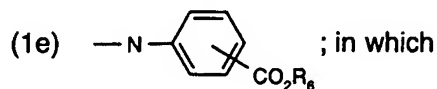
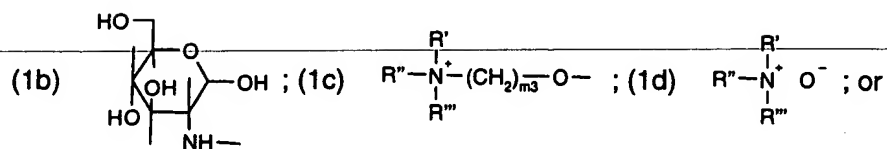


di- or tri- $C_1$ - $C_4$ alkylammonium, mono-, di- or tri- $C_2$ - $C_4$ alkanolammonium salts, or

$C_1$ - $C_3$ alkyl esters thereof; or a radical of the formula (1a)  ;

$R_5$  is hydrogen; unsubstituted  $C_1$ - $C_5$ alkyl or  $C_1$ - $C_5$ alkyl substituted by one or more OH groups;  $C_1$ - $C_5$ alkoxy; amino; mono- or di- $C_1$ - $C_5$ alkylamino; M; a radical of the formula





$R'$ ,  $R''$  and  $R'''$ , independently of one another, are unsubstituted  $\text{C}_1\text{-C}_{14}$ alkyl or  $\text{C}_1\text{-C}_{14}$ alkyl substituted by one or more OH groups;

$R_6$  is hydrogen; M;  $\text{C}_1\text{-C}_5$ alkyl; or a radical of the formula  $-(\text{CH}_2)_{m_2}-\text{O}-\text{T}_1$  ;

M is a metal cation;

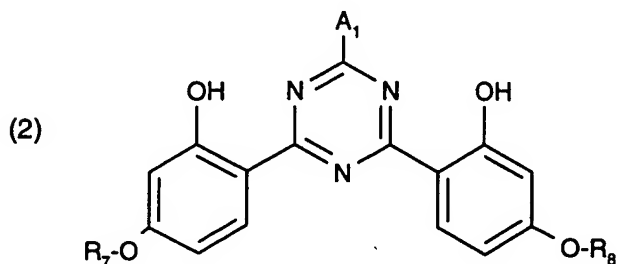
$\text{T}_1$  is hydrogen; or  $\text{C}_1\text{-C}_8$ alkyl;

m is 0 or 1

$m_2$  is 1 to 4; and

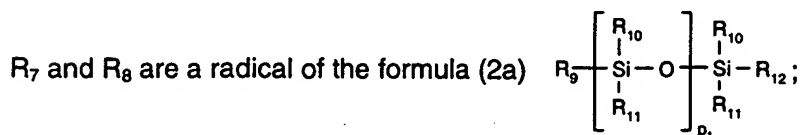
$m_3$  is 2 to 14.

4. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



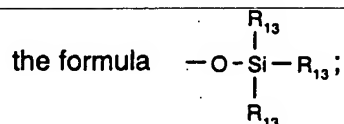
in which

$R_7$  and  $R_8$ , independently of one another, are  $\text{C}_1\text{-C}_{18}$ alkyl;  $\text{C}_2\text{-C}_{18}$ alkenyl; a radical of the formula  $-\text{CH}_2-\text{CH}(\text{-OH})-\text{CH}_2-\text{O}-\text{T}_1$  ; or



$R_9$  is the direct bond; a straight-chain or branched  $\text{C}_1\text{-C}_4$ alkylene radical or a radical of the formula  $-\text{C}_{m_1}\text{H}_{2m_1}-\text{O}-$  ;

$R_{10}$ ,  $R_{11}$  and  $R_{12}$ , independently of one another, are  $C_1$ - $C_{18}$ alkyl;  $C_1$ - $C_{18}$ alkoxy or a radical of

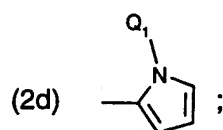
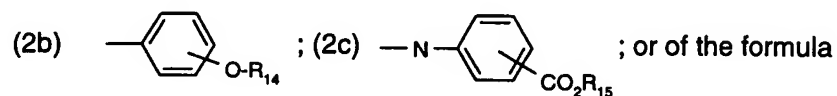


$R_{13}$  is  $C_1$ - $C_5$ alkyl;

$m_1$  is 1 to 4;

$p_1$  is 0 to 5;

$A_1$  is a radical of the formula



$R_{14}$  is hydrogen;  $C_1$ - $C_{10}$ alkyl,  $-(CH_2CHR_{16}-O)_{n_1}-R_{15}$  ; or a radical of the formula

$-CH_2-CH(-OH)-CH_2-O-T_1$ ;

$R_{15}$  is hydrogen; M;  $C_1$ - $C_5$ alkyl; or a radical of the formula  $-(CH_2)_{m_2}-O-(CH_2)_{m_3}-T_1$  ;

$R_{16}$  is hydrogen; or methyl;

$T_1$  is hydrogen; or  $C_1$ - $C_8$ alkyl;

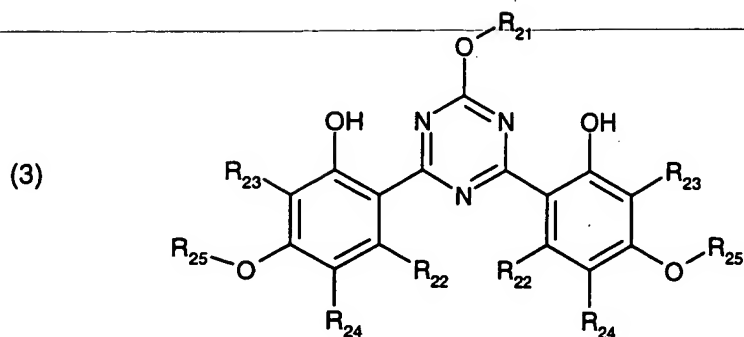
$Q_1$  is  $C_1$ - $C_{18}$ alkyl;

M is a metal cation;

$m_2$  and  $m_3$ , independently of one another, are 1 to 4; and

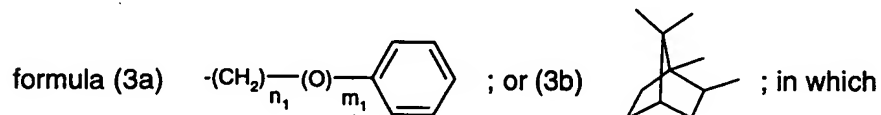
$n_1$  is 1 to 16.

5. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



in which

$R_{21}$  is  $C_1$ - $C_{30}$ alkyl;  $C_2$ - $C_{30}$ alkenyl; unsubstituted  $C_5$ - $C_{12}$ cycloalkyl or  $C_5$ - $C_{12}$ cycloalkyl mono- or polysubstituted by  $C_1$ - $C_5$ alkyl;  $C_1$ - $C_5$ alkoxy- $C_1$ - $C_{12}$ alkyl; amino- $C_1$ - $C_{12}$ alkyl;  $C_1$ - $C_5$ monoalkylamino- $C_1$ - $C_{12}$ alkyl;  $C_1$ - $C_5$ dialkylamino- $C_1$ - $C_{12}$ alkyl; a radical of the



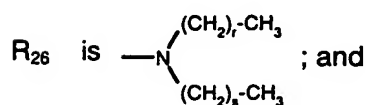
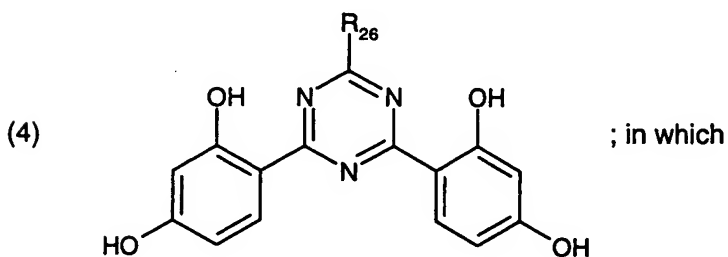
$R_{22}$ ,  $R_{23}$  and  $R_{24}$ , independently of one another, are hydrogen, -OH;  $C_1$ - $C_{30}$ alkyl,  $C_2$ - $C_{30}$ alkenyl,

$R_{25}$  is hydrogen; or  $C_1$ - $C_5$ alkyl;

$m_1$  is 0 or 1; and

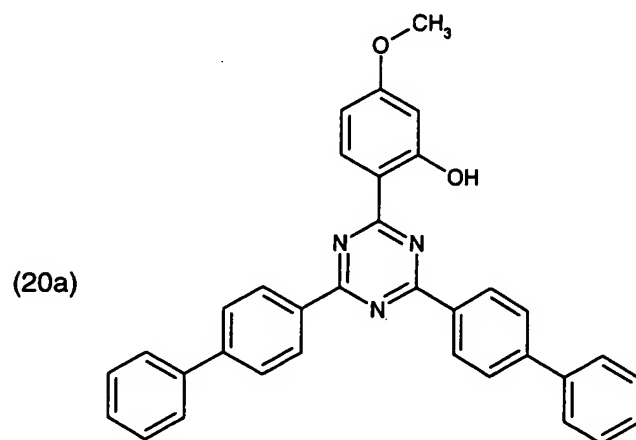
$n_1$  is 1 to 5.

6. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula

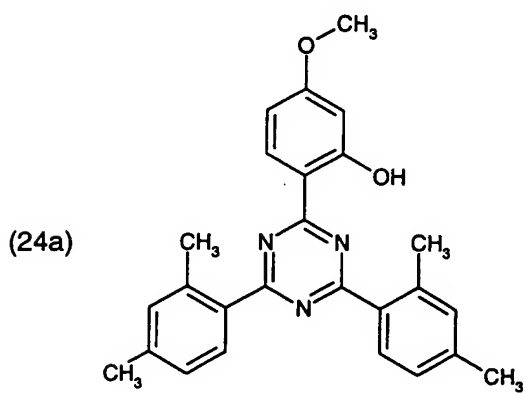


$r$  and  $s$ , independently of one another, are 0 to 20.

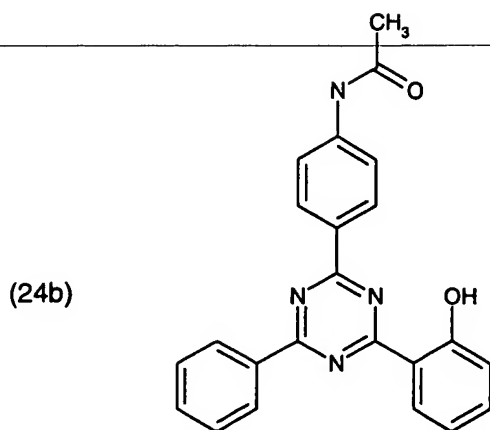
7. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



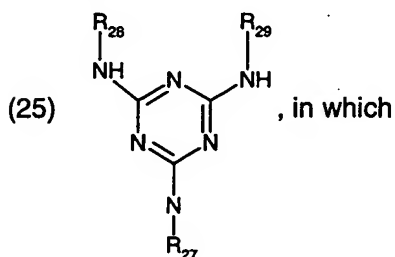
8. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



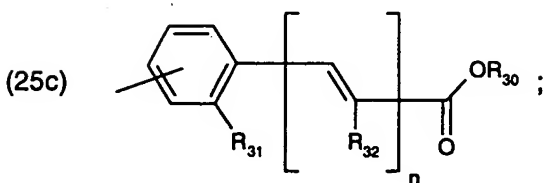
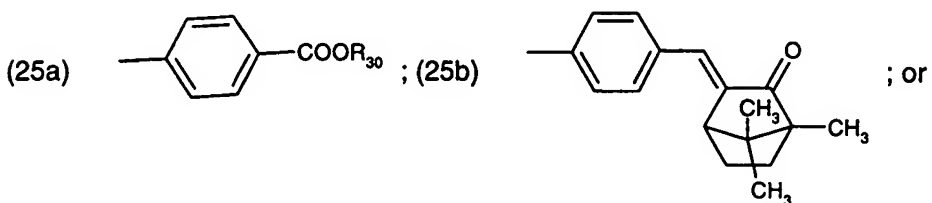
9. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



10. A method according to claim 1, wherein the organic UV filters are chosen from triazine derivatives of the formula



$R_{27}$ ,  $R_{28}$  and  $R_{29}$ , independently of one another, are a radical of the formula



$R_{30}$  is hydrogen; alkali metal; an ammonium group  $-N(R_{33})_4$ ,

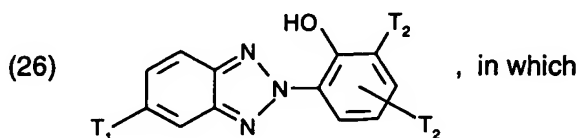
$R_{33}$  is hydrogen;  $C_1$ - $C_5$ alkyl; or a polyoxyethylene radical which has 1 to 10 ethylene oxide units and the terminal OH group can be etherified with a  $C_1$ - $C_5$ alcohol;

$R_{31}$  is hydrogen;  $-OH$ ; or  $C_1$ - $C_6$ alkoxy;

$R_{32}$  is hydrogen or  $-\text{COOR}_{30}$ ; and

$n$  is 0 or 1.

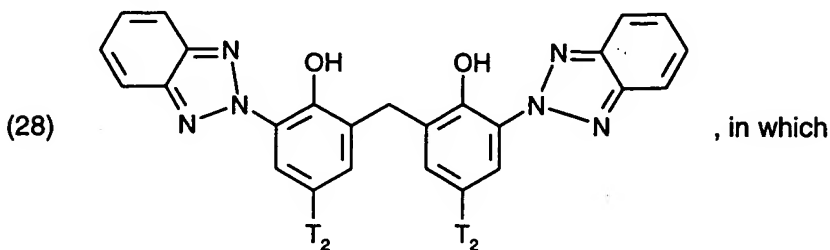
11. A method according to claim 1, wherein the organic UV filters are chosen from benzotriazole derivatives of the formula



$T_1$  is  $\text{C}_1$ - $\text{C}_5$ alkyl or hydrogen; and

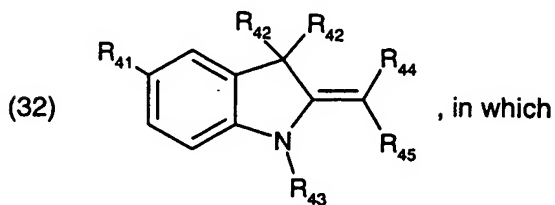
$T_2$  is  $\text{C}_1$ - $\text{C}_5$ alkyl or phenyl-substituted  $\text{C}_1$ - $\text{C}_5$ alkyl.

12. A method according to claim 1, wherein the organic UV filters are chosen from benzotriazole derivatives of the formula



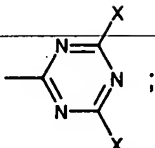
$T_2$  is  $\text{C}_1$ - $\text{C}_4$ alkyl or phenyl-substituted  $\text{C}_1$ - $\text{C}_5$ alkyl.

13. A method according to claim 1, wherein the organic UV filters are chosen from Fischer base aldehydes of the formula



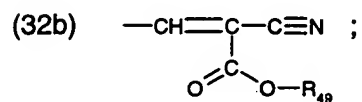
$R_{41}$  is hydrogen;  $\text{C}_1$ - $\text{C}_5$ alkyl;  $\text{C}_1$ - $\text{C}_{18}$ alkoxy; or halogen;

$R_{42}$  is  $\text{C}_1$ - $\text{C}_8$ alkyl;  $\text{C}_5$ - $\text{C}_7$ cycloalkyl; or  $\text{C}_6$ - $\text{C}_{10}$ aryl;

$R_{43}$  is  $C_1$ - $C_{18}$ alkyl or a radical of the formula (32a)  ;

$R_{44}$  is hydrogen; or a radical of the formula  $\text{—}\overset{\overset{R_{46}}{|}}{\text{C}}=\text{O}$  ;

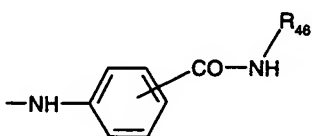
$R_{45}$  is  $\left[ \overset{\overset{R_{47}}{|}}{\text{N}} \right]_n \text{—}\overset{\overset{R_{48}}{|}}{\text{C}}=\text{O}$  ;  $C_1$ - $C_{18}$ alkoxy; or a radical of the formula

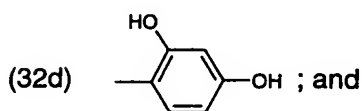


$R_{46}$  and  $R_{47}$ , independently of one another, are hydrogen; or  $C_1$ - $C_5$ alkyl;

$R_{48}$  is hydrogen;  $C_1$ - $C_5$ alkyl;  $C_5$ - $C_7$ cycloalkyl; phenyl; phenyl- $C_1$ - $C_3$ alkyl;

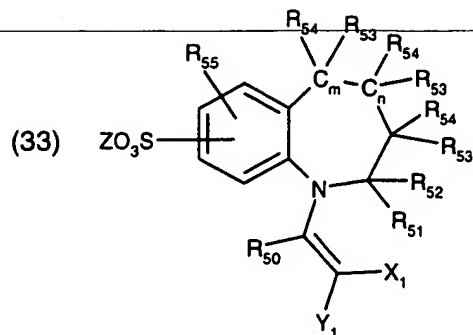
$R_{49}$  is  $C_1$ - $C_{18}$ alkyl;

X is Hal; a radical of the formula (32c)  ; or



n is 0 or 1.

14. A method according to claim 1, wherein the organic UV filters are chosen from compounds of the formula



in which

$\text{R}_{50}$ ,  $\text{R}_{51}$ ,  $\text{R}_{52}$ ,  $\text{R}_{53}$ ,  $\text{R}_{54}$ , independently of one another, are hydrogen,  $\text{C}_1$ - $\text{C}_8$ alkyl or  $\text{C}_5$ - $\text{C}_{10}$ cycloalkyl;

$\text{R}_{55}$  is hydrogen;  $\text{C}_1$ - $\text{C}_8$ alkyl;  $\text{C}_5$ - $\text{C}_{10}$ cycloalkyl; hydroxyl;  $\text{C}_1$ - $\text{C}_8$ -alkoxy;  $\text{COOR}_{56}$ ; or  $\text{CONR}_{57}\text{R}_{58}$ ;

$\text{R}_{56}$ ,  $\text{R}_{57}$  and  $\text{R}_{58}$ , independently of one another, are hydrogen or  $\text{C}_1$ - $\text{C}_6$ alkyl;

$\text{X}$  and  $\text{Y}$ , independently of one another, are hydrogen,  $-\text{CN}$ ;  $\text{CO}_2\text{R}_{59}$ ;  $\text{CONR}_{59}\text{R}_{60}$ ; or  $\text{COR}_{59}$ ;

where the radicals  $\text{X}$  and  $\text{Y}$  may additionally be a  $\text{C}_1$ - $\text{C}_8$ alkyl radical, a  $\text{C}_5$ - $\text{C}_{10}$ alkyl radical or a heteroaryl radical having 5 to 6 ring atoms, where, in addition,  $\text{X}$  and  $\text{Y}$  or

$\text{R}_{50}$  together with one of the radicals  $\text{X}$  and  $\text{Y}$  can represent the radical to complete a 5- to 7-membered ring which may contain up to 3 heteroatoms, where the ring atoms may be substituted by exocyclically double-bonded oxygen and/or  $\text{C}_1$ - $\text{C}_8$ alkyl and/or  $\text{C}_5$ - $\text{C}_{10}$ cycloalkyl radicals, and/or may contain  $\text{C}=\text{C}$  double bonds;

$\text{Z}$  is hydrogen; ammonium; alkali metal ion; or the cation of an organic nitrogen base used to neutralize the free acid group;

$\text{R}_{59}$  and  $\text{R}_{60}$ , independently of one another, are hydrogen,  $\text{C}_1$ - $\text{C}_8$ alkyl or  $\text{C}_5$ - $\text{C}_{10}$ cycloalkyl; and

$n$  and  $m$ , independently of one another, are 0 or 1.

15. A method according to claim 1, wherein the organic UV filters are used as mixtures.

16. A process for the preparation of mixtures of the organic UV filters defined in claim 1 which can be used according to the invention, which comprises thoroughly mixing the UV filters present in micronized form together.



17. A process for the preparation of mixtures of the organic UV filters defined in claim 1 and which can be used according to the invention, which comprises micronizing the organic UV filters as mixtures of at least two individual substances.

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18. A process for the preparation of mixtures of the organic UV filters defined in claim 1 and which can be used according to the invention, which comprises melting together at least two individual substances, cooling the melt, and then subjecting the resulting composite to a micronization process.

19. A composite obtainable by melting together at least two of the organic UV filters defined in claim 1.

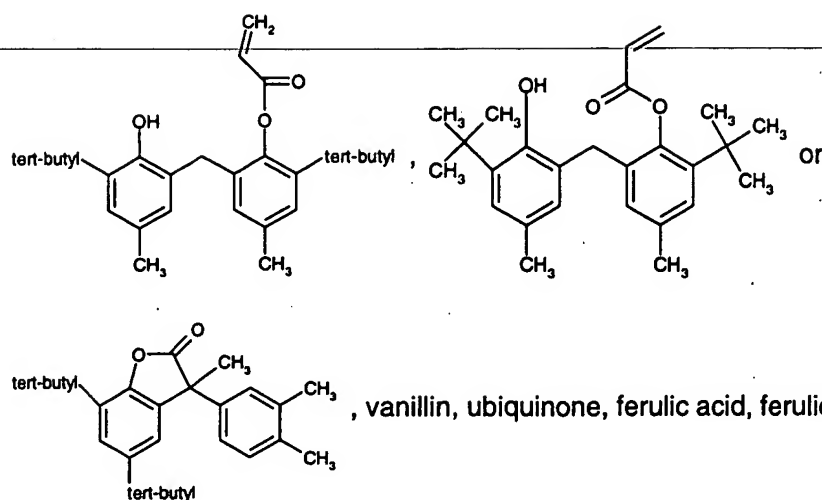
20. A method according to claim 1, wherein an inorganic pigment is additionally mixed in.

21. A method according to claim 20, wherein the inorganic pigments are chosen from  $\text{TiO}_2$ ,  $\text{ZnO}$ , iron oxides, mica and Ti or zinc salts of organic acids.

22. A composite obtainable by melting together at least two of the organic UV filters defined in claim 1 and at least one of the inorganic pigments defined in claim 20.

23. A method according to claim 1, wherein an antioxidant is additionally mixed in.

24. A method according to claim 23, wherein the antioxidant is chosen from tocopherols, ellagic acid, propyl gallate, butylated hydroxytoluene, butylated hydroxyanisole, 2,4,6-tris(3,5-di-t-butyl-4-hydroxybenzyl)mesitylene, tetrakis[methylene-3-(3',5'-di-t-butyl-4'-hydroxyphenyl)propionate]methane, the compound of the formula



, vanillin, ubiquinone, ferulic acid, ferulic acid derivatives, rutic acid, rutic acid derivatives; urocanic acid, urocanic acid derivatives and propolis.

25. A composite obtainable by melting together at least two of the organic UV filters defined in claim 1 and at least one of the antioxidants defined in claim 23, and, if desired, one or more inorganic pigments.

26. A method according to claim 1, wherein a cationic or anionic compound is mixed in.

27. A method according to claim 26, wherein the cationic or anionic compound is chosen from camphorbenzalkonium methosulfates, fatty amines, betaines, quats, citric monoglyceride, sodium methylcocoyltaurate, phospholipids, ceramides and phytosterols.

28. A composite obtainable by melting together at least two of the organic UV filters defined in claim 1 and at least one of the cationic or anionic compounds defined in claim 26.

29. A method according to claim 1, wherein a pharmaceutical or cosmetic active ingredient is additionally mixed in.

30. A cosmetic formulation comprising one of the organic UV filters defined in claim 1, if desired one or more antioxidants and/or inorganic pigments and/or a cationic or anionic compound, and cosmetically compatible carriers or auxiliaries.

31. A cosmetic formulation according to claim 30, which additionally comprises an oil-soluble, nonmicronized UV filter.

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32. A pharmaceutical formulation comprising a mixture of at least two of the organic UV filters defined in any one of claims 1 to 15, if desired one or more antioxidants and/or inorganic pigments and/or a cationic or anionic compound, and pharmaceutically compatible carriers or auxiliaries.

Abstract

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The invention describes the use of micronized organic UV filters for preventing tanning and for lightening human skin and hair, and their use in cosmetic and pharmaceutical formulations.

The micronized UV filters used according to the invention cover a broad UV spectrum and therefore have excellent sunscreen properties.